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NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN  
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE  
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL  
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right  
Truncation  
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR  
NEWS 10 SEP 22 DIPPR file reloaded  
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded  
NEWS 12 SEP 29 DISSABS now available on STN  
NEWS 13 OCT 10 PCTFULL: Two new display fields added  
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced  
  
NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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FILE 'HOME' ENTERED AT 09:13:42 ON 23 OCT 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:14:09 ON 23 OCT 2003

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STRUCTURE FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0  
DICTIONARY FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0

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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

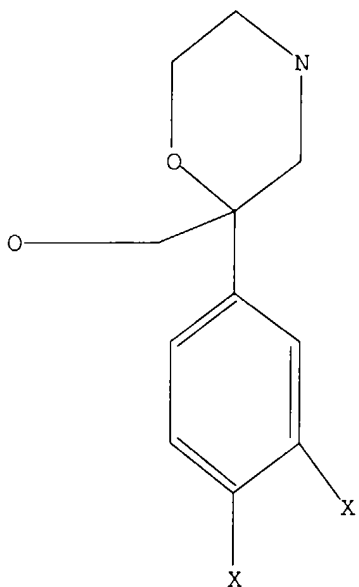
Uploading 10030600.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:17:39 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS  
SEARCH TIME: 00.00.01

8 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

Page 3 10/23/2003

PROJECTED ITERATIONS: 22 TO 418  
PROJECTED ANSWERS: 8 TO 329

L2 8 SEA SSS SAM L1

=> s l1 full  
FULL SEARCH INITIATED 09:17:42 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 207 TO ITERATE

100.0% PROCESSED 207 ITERATIONS 120 ANSWERS  
SEARCH TIME: 00.00.01

L3 120 SEA SSS FUL L1

=> fil caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 150.15 150.36

FILE 'CAPLUS' ENTERED AT 09:17:44 ON 23 OCT 2003  
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FILE COVERS 1907 - 23 Oct 2003 VOL 139 ISS 17  
FILE LAST UPDATED: 22 Oct 2003 (20031022/ED)

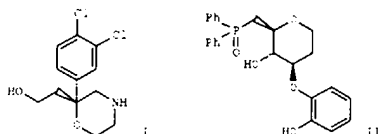
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2  
L4 9 L2

=> s l3  
L5 22 L3

=> d ibib abs hitstr 1-22

L5 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 200115669 CAPLUS  
 DOCUMENT NUMBER: 13916844  
 TITLE: Efficient synthesis of a key intermediate of neurokinin receptor antagonists using a bifunctional asymmetric catalyst  
 AUTHOR(S): Takamura, Makoto; Yabu, Kazuo; Nishi, Takahide; Yanagisawa, Hiroaki; Kanai, Motoomi; Shibasaki, Masakatsu  
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sanofi-Sintabo, Ltd., Tokyo, 140-8710, Japan  
 SOURCE: Synlett (2002), (3), 343-346  
 CODEN: SYNL25; ISSN: 0930-5214  
 PUBLISHER: Georg Thieme Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 13916844  
 SI



AB An efficient synthesis was reported for the prepn. of 2-[(12R)-arylmorpholin-2-yl]ethanol 1, a key intermediate of neurokinin receptor antagonists. Catalytic asym. cyanosilylation of 3,4-dichlorobenzyl 2-(2S)-2-oxo-2-methylpropanoate using the in situ formed complex of ligand II with Ti(OiPr)<sub>4</sub> was employed to introduce the required stereochem.

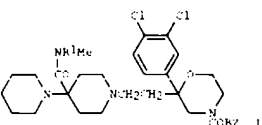
IT 191673-55-9P  
 RI: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of 2-[(12R)-arylmorpholin-2-yl]ethanol, a key intermediate of neurokinin receptor antagonists, via asym. cyanosilylation using a bifunctional asym. catalyst)  
 RN 191673-55-9 CAPLUS  
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-6-[(2-[(1,1,1-trimethyl-2-oxoethyl)oxy]ethyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002190215 CAPLUS  
 DOCUMENT NUMBER: 137384848  
 TITLE: Novel piperidinylpiperidinecarboxamides as antagonists of human neurokinin receptors  
 INVENTOR(S): Emonda, A.; Xavier, Vincent; Vincent, Vincent  
 PATENT ASSIGNOR(S): Sanofi-Synthelabo, Fr.  
 SOURCE: PCT Int. Appl., 29 pp.  
 CODEN: PEXAD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY AND NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094821	A1	20021128	WO 2002-FR1661	20020517
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, FI, FR, GB, GR, GU, HK, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>KW: BH, BM, BF, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, FI, FR, GB, GR, GU, HK, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				
FR 2874428	A1	20021122	FR 2001 6601	20010521

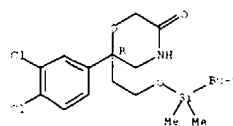
PRIORITY APPL. INFO: MARPAT 137384848  
 OTHER SOURCE(S): SI



AB Title compds. I [R] = H, Me; Z = Ph, 2,3-dichloro, 2,6-dichloro; B = Bond, CH<sub>2</sub> were prepd. and show strong affinity both for human NK2 receptors of neurokinin A and for human NK3 receptors of neurokinin B and are antagonists of said receptors. Thus, I [R] = Me, Z = Ph, B = Bond was prepd. by reductive amination of 2-[4-benzyl-2-(3,4-dichlorophenyl)morpholin-2-yl]acetaldehyde (II) with 4-(N,N-dimethylcarbamoyl)4-piperidylpiperidine (III). II was obtained by benzoylating 2-[2-(3,4-dichlorophenyl)morpholin-2-yl]ethyl benzoate, ester hydrolysis, and retn. to the aldehyde. III was obtained by treating 1-benzyl-4-piperidone with piperidine HCl and NaCN, hydrolyzing to the amide, N-methylating, and debenzoylating. I [R] = Me, Z = Ph, B = Bond had Ki 4X10<sup>-11</sup> M for inhibition of [125I]NKA-665 human NK2 receptors.

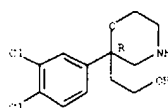
IT 181641-36-1P 181642-88-6P 476013-01-1P  
 476013-03-3P 476013-04-4P 476013-06-6P  
 476013-07-7P

L5 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



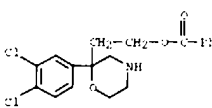
IT 191673-56-0P  
 RI: SYN (Synthetic preparation); PREP (Preparation)  
 (synthesis of 2-[(12R)-arylmorpholin-2-yl]ethanol, a key intermediate of neurokinin receptor antagonists, via asym. cyanosilylation using a bifunctional asym. catalyst)  
 RN 191673-56-0 CAPLUS  
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

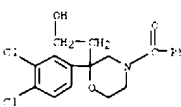


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

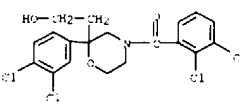
L5 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 RI: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of novel piperidinylpiperidinecarboxamides as antagonists of human neurokinin receptors)  
 RN 181641-36-1 CAPLUS  
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181641-36-1 CAPLUS  
 CN 2-Morpholineethanol, 4-benzyl-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

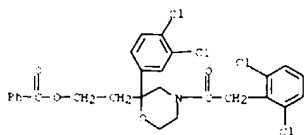


RN 476013-01-1 CAPLUS  
 CN 2-Morpholineethanol, 4-(2,3-dichlorobenzyl)-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

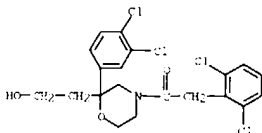


RN 476013-01-1 CAPLUS  
 CN 2-Morpholineethanol, 4-(2,3-dichlorobenzyl)-2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

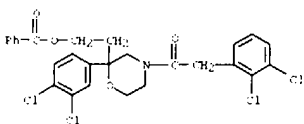
L5 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 476013-04-4 CAPLUS  
 CN 2-Morpholinomethanol, 2-[(3,4-dichlorophenyl)-4-[(2,6-dichlorophenyl)acetyl]]- (9CI) (CA INDEX NAME)



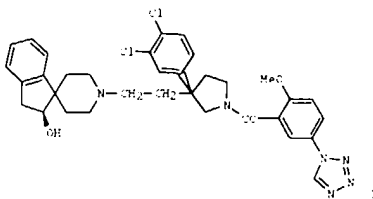
RN 476013-06-5 CAPLUS  
 CN 2-Morpholinomethanol, 2-[(3,4-dichlorophenyl)-4-[(2,3-dichlorophenyl)acetyl]]- (9CI) (CA INDEX NAME)



RN 476013-07-9 CAPLUS  
 CN 2-Morpholinomethanol, 2-[(3,4-dichlorophenyl)-4-[(2,5-dichlorophenyl)acetyl]]- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:627456 CAPLUS  
 DOCUMENT NUMBER: 147:153154  
 TITLE: Pharmaceutical compositions containing 2-alkoxybenzene derivatives as tachykinin receptor antagonists  
 INVENTOR(S): Nishi, Takehide; Takemoto, Toshiyasu; Yamaguchi, Takeshi  
 PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.  
 CODEN: J00XAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY APP. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	AFFILIATION NO.	DATE
JP 200216397	AP	20021031	JP 2001122866	20010420
PRIORITY APPEN. INFO:			JP 2001162866	20010420
OTHER SOURCE(S):		MARIAT 147:153154		

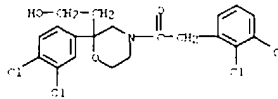


AB Compns., useful for treatment of respiratory tract diseases such as asthma, bronchitis, allergic diseases, rhinitis, etc., with as 1-[(2-[(3R)-[3,4-dichlorophenyl]-1-(2-methoxy-5-(tetrazol-1-yl)benzyl)pyrrolidin-3-yl)ethyl]spiro[[1,2,3,4-tetrahydro-1,4'-piperidine], 1]-10SO of I (prior. given) for binding of substance P by NK1 receptors of guinea pig crude lung membrane prepns was 0.38 nM. Pharmaceutical formulations were also given.

IT 191673-56-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of 2-alkoxybenzene derivs. as tachykinin receptor antagonists)  
 PN 191673-56-0 CAPLUS  
 CN 2-Morpholinomethanol, 2-[(3,4-dichlorophenyl)-4-[(2,6-dichlorophenyl)acetyl]]- (9CI) (CA INDEX NAME)

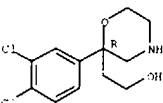
Absolute stereochemistry. Rotation (+).

L5 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



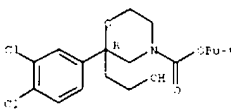
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REF. FORMAT

L5 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



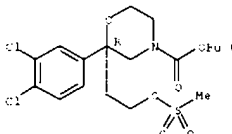
IT 335395-11-4P 335395-13-6P  
 RL: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of 2-alkoxybenzene derivs. as tachykinin receptor antagonists)  
 RN 335395-11-4 CAPLUS  
 CN 4-Morpholinomethanol, 2-[(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 335395-13-6 CAPLUS  
 CN 4-Morpholinomethanol, 2-[(3,4-dichlorophenyl)-2-(2-(methylsulfonyl)oxyethyl)-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

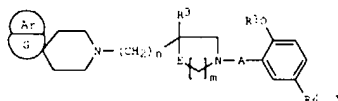
Absolute stereochemistry.



LS ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:000708 CAPLUS  
DOCUMENT NUMBER: 134:01213  
TITLE: Preparation of alkoxybenzyl moiety-containing heterocyclic compounds as tachykinin antagonists  
INVENTOR(S): Nishi, Takahide; Takeuchi, Yoshiyoshi; Yamaguchi, Takeshi  
PATENT ASSIGNEE(S): Sanofi Company, Limited, Japan  
SOURCE: PCT Int. Appl., 27 pp.  
CODEN: PIXXDP  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029029	A1	20010425	WO 2000 JP7244	20001009
W: AU, BR, CA, CN, CZ, DE, DK, ES, FR, GB, GR, IE, IT, JP, KR, MX, NO, NZ, PL, PT, RU, SE, SG, SI, SK, TR, US, ZA				
RW: AT, BE, CH, CY, EE, FI, FO, HU, IL, IN, IS, IT, JP, KR, MX, NO, NZ, PL, PT, RU, SE, SG, SI, SK, TR, US, ZA				
JP 200187799	A2	20010710	JP 2000-320128	20001600
PRIORITY APPLN. INFO.: JP 1999-300593 A			19991022	
OTHER SOURCE(S): MARPAT 134:01213				



AB The title compds. I (R1 represents alkyl; R2 represents optionally substituted 5-membered heteroaryl group, nitrogen or optionally substituted 5-membered heterocyclyl group, nitrogen; R3 represents optionally substituted aryl or optionally substituted heteroaryl; A represents CH2 or SO2; E represents CH2, O or S; G represents an optionally substituted cycloalkane ring, an optionally substituted cycloalkene ring or an optionally substituted sat'd. heterocycle; Ar represents an optionally substituted aryl ring or an optionally substituted heteroaryl ring; n is 1 or 2; and m is from 2 to 4) are prepd. I have elevated oral availability and show favorable kinetics in vivo. Comps. of this invention are said to show metabolic stability and exhibit NK1, NK2, and NK3 receptor antagonism. Formulations are given.

17 **335395-11-4P 335395-13-6P**  
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepd. of alkoxybenzyl moiety-contg. heterocyclic compds. as tachykinin antagonists)

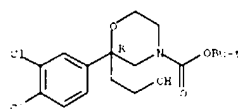
RK 335395-11-4 CAPLUS

CN 4-Morpholinocarboxylic acid, 2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-, 1,1-dimethylethyl ester, (2k)-(9CI) (CA INDEX NAME)

LS ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

1,1-dimethylethyl ester, (2k)-(9CI) (CA INDEX NAME)

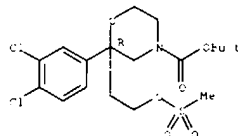
Absolute stereochemistry.



RK 335395-13-6 CAPLUS

CN 4-Morpholinocarboxylic acid, 2-(3,4-dichlorophenyl)-2-(2-(methanesulfonyloxy)ethyl)-, 1,1-dimethylethyl ester, (2k)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

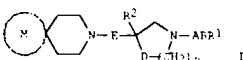


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:005593 CAPLUS  
DOCUMENT NUMBER: 134:141732  
TITLE: Spiropiperidines for prevention and treatment of tachykinin-mediated diseases  
INVENTOR(S): Nishi, Takahide; Nakajima, Katsuyoshi; Ito, Yukiko; Yamaguchi, Takeshi  
PATENT ASSIGNEE(S): Sanofi Co., Ltd., Japan  
SOURCE: Jpn. Yakai Tokkyo Koho, 46 pp.  
CODEN: JXXNAP  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001001570	A2	20010206	JP 1999-205485	19990721
PRIORITY APPLN. INFO.: JP 1999-205485			19990721	
OTHER SOURCE(S): MARPAT 134:141732				



AB Spiropiperidines (I: R1, R2 = (substituted) aryl or heteroaryl; A = CH2, CH, SO2; E = single bond, alkylene, alkenylene; D = O, S; E = alkylene, alkenylene; n = 1, 3; M = (substituted) substituted cycloalkyl group) and their pharmaceut. acceptable salts are claimed for prevention and treatment of tachykinin-mediated diseases, including asthma, bronchitis, rhinitis, urinary incontinence, and ulcerative colitis. I were prepd., and their formulation examples of powders, granules, capsules, and tablets were given.

17 **191672-58-9**  
RI: RCT (Reactant); RACT (Reactant or reagent)

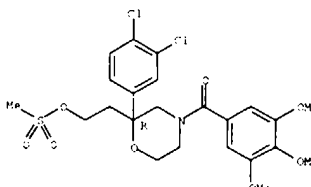
(Spiropiperidines for prevention and treatment of tachykinin mediated diseases)

RK 191672-58-2 CAPLUS

CN 2-Morpholinoethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (ester), (2R) (9CI) (CA INDEX NAME)

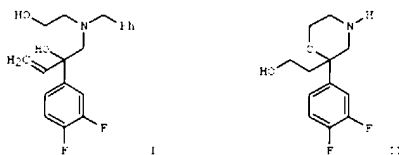
Absolute stereochemistry. Rotation (c).

LS ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



1.5. ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 A.S. IN STN  
ACCESSION NUMBER: 2001150934  
DOCUMENT NUMBER: 114106879  
TITLE: Preparation of 2-(2-aryl-2-morpholinyl)ethanols as drug intermediates  
INVENTOR(S): Allouard, Alain; Bernu, Francine; Boudry, Sverina; Burger, Alain; Car, Claude; Lucas, Eric  
PATENT ASSIGNEE(S): Sanofi Synthelabo, Fr.  
SOURCE: ECT Int. Appl., 78 pp.  
CODEN: PIXXDE  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY AND NUM. COUNT: 1  
PATENT INFORMATION:

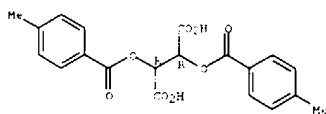
PATENT NO.	KIND	DATE	AFFILIATION NO.	DATE
WO 2001/03041/05	A1	2001/01/18	WO 2000/48196/6	2000/07/07
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, FE, ES, FI, FR, GB, GR, GM, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, LY, MA, MG, MK, MN, MW, MX, MY, NG, NL, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SA, SD, SE, SG, SI, SK, SL, SR, SY, TD, TM, TW, UA, UG, UZ, VC, VE, YU, ZA, ZW, AM, AZ, BY,			BG, BR, BY, CA, CH, CN, CY, CZ, DE, DK, DM, DZ, FE, ES, FI, FR, GB, GR, GM, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, LY, MA, MG, MK, MN, MW, MX, MY, NG, NL, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, SA, SD, SE, SG, SI, SK, SL, SR, SY, TD, TM, TW, UA, UG, UZ, VC, VE, YU, ZA, ZW, AM, AZ, BY,	
FR 2796069	A1	2001/01/12	FR 1329 9561	1999/02/05
FR 2796069	A1	2001/01/12		
US 2000/007304	A1	2000/03/19	EP 2000/13294	2000/03/07
EP 1202417	A1	2002/03/02	EP 2000 946569	2000/06/07
R: AT, BE, CH, CY, DE, DK, ES, FR, GB, GR, IT, IL, LU, NL, SE, MC, PT, IE, SI, LT, LV, BG, RO, HU, CY, AT,				
JP 2003/043592	T2	2003/02/04	JP 2001 508715	2002/07/07
PRIORITY AFFILIATED INFO:			JP 1995 9981	A 1995/01/07
			WO 2000/97466	M 2000/07/07
OTHER SOURCE(S):			CASREACT 134:120879	HAIRPAT 134:120879
GI				



AB Enantiomeric R<sub>2</sub>CHCH<sub>2</sub>CH<sub>2</sub>OH (R = 3,4 dihalo-phenyl; Z = 2-morpholinylidenel)

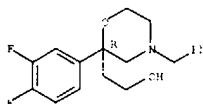
25 ANSWER 6 OF 22 CARLOS COPYRIGHT 2003 ACS on STN (Continued)

### Absolute stereochemistry



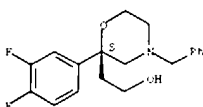
RN 320307-72-3 CAFIUS  
CN 2-Morpholineethanol, 2 (3,4-difluorophenyl)-4-(phenylmethyl)-,  
hydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● H<sub>2</sub>:

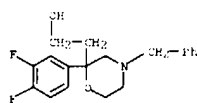
RN 320337 61 3 CAPLUS  
CN 2 Morpholineethanol, 2-(3,4-difluorophenyl)-4-(phenylmethyl)-, (2S)- (9CI)  
(CA INDEX NAME)

[illegible]

RE 709397-86-8 CAPLUS  
 CN 4-Methyl-2-oxo-5-phenyl-2-(2-hydroxyethyl)-  
 phenylmethyl ester (SCI) (CA INDEX NAME)

15 ANSWER 6 OF 22 CAPSUS COPYRIGHT 2003 ACS ON STM (Continued)  
were prep'd. Thus, 3,4-FECH<sub>2</sub>GCH<sub>2</sub>Cl (prep'n. given) was condensed with  
C6H4-CHOH<sub>2</sub> and the product annotated by PhCHNMe<sub>2</sub> to give, after  
(+)-PhCH(GCH<sub>2</sub>COCH<sub>3</sub>) treatment, (R)-(+)-PhCH<sub>2</sub>NHC(CH<sub>3</sub>)<sub>2</sub>(OH)(CH<sub>2</sub>CH<sub>2</sub>)C6H<sub>3</sub>F<sub>2</sub>-4,  
(+)-mandelic acid salt which was treated with oxirane to give mandelic acid  
(R)-(+)-1. The latter was cyclized and the product converted in 3 steps  
to title named, (R)-(+)-11.

IT	320337-66-4P 320337-67-5P 320337-73-3P 320337-81-3P 320337-86-8P
	PL: IMF (Industrial Manufacture); RCT (Reactive); SYN (Synthetic preparation); PKP (Preparation); FACT (Reactant or reagent) (Prepn. of 2 (2-allyl-2-morpholino)ethanol as drug intermediate)
RN	320337-66-4 CAPUS
CN	2-Morpholinoethanol, 2-(3,4-dimethylphenyl)-4-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCU

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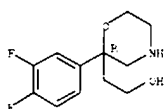
HN 32037-67-5 CAPLUS
CN Butanedioic acid, 2,3 bis[(4-methylbenzoyl)oxy]-, [R (R*,R*)]-, compd.
  with (2R)-2-[(3,4-difluorophenyl)-2-morpholineethanol (1:1) (SCE) (CA
  INDEX NAME)

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21

CRN 218292-43-4  
CMF C12 H15 F2 N O2

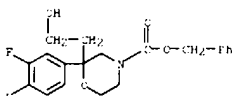
Absolute stereochemistry. Rotation (+).



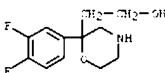
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CRN 32634-66-5  
CME C29 H1F 08

14 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS 16 STN (Continued)

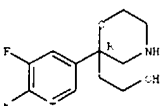


IT 202744-82-9P 216292-43-4P 320337-82-4P  
 Kt: IMP (Industrial manufacture); SYN (Synthetic preparation); PREP  
 (Preparation)  
 (prepn. of 2-(2-aryl-2-morpholinyl)ethanols as drug intermediates)  
 EN 202744-82-9 CAPLUS  
 CN 2-Morpholineethanol, 2-[4,4-difluorophenyl]- (UCI) (CA INDEX NAME);



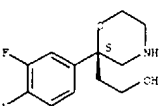
RN 21R292-47-4 CAPLUS  
 CN 2 Morpholineethanol, 2 (3,4-difluorophenyl) , (2E) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 320337-82-4 CASINO  
 CN 2-Methyl-5-phenyl-1,3,4-dihydrophenyl-1,4-diol (CAS) (S) (S) (CA INDEX NAME)

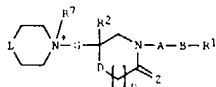
Absolute stereochemistry. Rotation, (-).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5. ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS INC STN  
ACQUISITION NUMBER: 2000/865349 CAPLUS  
DOCUMENT NUMBER: 134:25361  
TITLE: Heterocyclic ring derivatives with aliphatic ring  
acylation for treatment of tachykinin-related diseases  
INVENTOR(S): Nishi, Takeshi; Yamaguchi, Takeshi  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 146 pp.  
CODEN: JKGAAP  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000344670	A2	20001212	JP 1999-155848	19990603
PRIORITY AFFIL. INFO.:			JP 1999-155848	19990603
OTHER SOURCE(S):	MARFAT 134:25361			
GI				

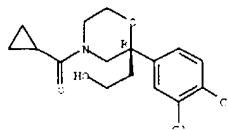


AE Heterocyclic ring derivs. with alkylaryl ring oxidation (R<sub>1</sub> = R<sub>2</sub> = (substituted) alkyl; and, said, heteroaryl; R<sub>2</sub> = (substituted) aryl and heteroaryl; A = methylene, carbonyl, sulfonyl; B = single bond, alkylene, alkenylene; D = C, Si; G = alkylene, alkenylene; L = N(R<sub>3</sub>), C(R<sub>4</sub>)(R<sub>5</sub>); with R<sub>3</sub> = (substituted) aryl; and heteroaryl; R<sub>4</sub> = H, (substituted) aryl heteroaryl, cycloalkyl; and, said, heteroaryl; R<sub>5</sub> = amino-(substituted)alkyl, amino, (substituted) alkylaryl, OH, (substituted)hydroxyalkyl, alkoxyl, -CO-R<sub>6</sub>, with R<sub>6</sub> = alkyl, alkoxyl, amine residue, ester; R<sub>7</sub> = alkyl; 2 = 2H, 3H = 3, 1, (2) and their pharmaceutically acceptable salts and esters; and, said, claimed compounds and their pharmaceutically acceptable salts and esters for the treatment of cytokinin-related diseases, including asthma, bronchitis, allergy, rhinitis, urinary incontinence. Formulating examples of I powders, granules, tablets, and capsules were given.

IT 226699-62-3 226699-64-5 226699-66-7  
 226699-62-3 226699-64-5 226699-66-7  
 226699-74-7 226699-82-7 226700-07-8  
 312261-50-0  
 RI: RCT (reactant); RACT (Reactant + reagent)  
 (heterocyclic ring derivs. with aliphatic ring acylatn. for treatment  
 of tachycardia related diseases)  
 RN 226699-62-4 CARLIS  
 CN -Morpholin-3-ylacetamide, 4-(4-oxo-1-propylcarbamoyl)-2-(4,4-dichlorophenyl)-  
 (CARLIS, 3C11) (XN INDEX NAME)

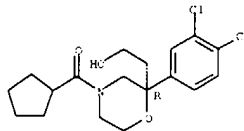
### Absolute stereochemistry.

L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



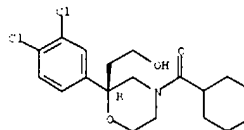
KN 226699-64 5 CAPLOS  
UN 2-Morpholineethanol, 4-(cyclopentylcarbonyl)-2 (3,4-dichlorophenyl)-,  
(2R, -5S) (CA INDEX NAME)

Absolute stereochemistry.



RN 225699 66 7 CAPLUS  
CN 2-Morpholineethanol, 4 (cyclohexylcarbonyl) 2-(3,4-dichlorophenyl)-, (2R)-  
(901) (CA INDEX NAME)

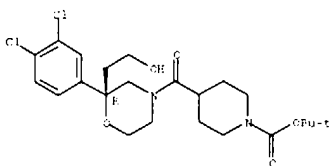
### Absolute stereochemistry.



PN 226699-74 7 CALIUS  
CN 1-Piperidinecarboxylic acid, 4-[[[(2R) 2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1 dimethylethyl ester (9CI) (CA  
INDEX NAME)

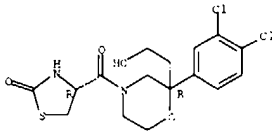
Absolute stereo chemistry.

L5 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS, INC. STN Continued



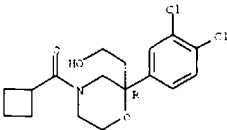
RN 226699 82 7 CAPLUS  
 CN 2-Morpholinoethanol, 2-[3,4-dimethoxyphenyl]-4-[[[4(R)-2-oxo-4-thiazolidinyl]carbonyl]-, (2R)- (PCI) (CA INDEX NAME)

### Absolute stereochemistry.



RN 226799-02-8 CAPLUS  
CN 2-Morpholineethanol, 4-(cyclobutylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)-  
(9CI) (CA INDEX NAME)

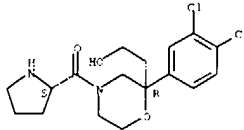
### Absolute stereochemistry.



HN 312261-50 C. CAPIUS  
CN 2-Methyl-4-methylthio-2-(4,4-dichlorophenyl)-4-[(4S)-2-pyrrolidinylcarbonyl]-, (2R) (CCI) (CA INDEX NAME)

Absolute stereochemistry.

LS ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

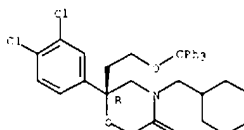


IT 226699-92-9P 312261-45-3P 312261-46-4P  
312261-47-5P 312261-49-7P 312261-51-1P  
312261-52-2P 312261-53-3P

RH: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (heterocyclic ring derivs. with aliphatic ring acylation for treatment  
 of tachykinin related diseases)

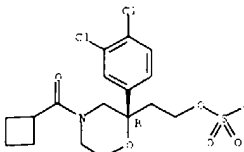
3-Morpholine, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-[2-(triphenylmethoxy)ethyl]-, (6R)- (9CI) (CA INDEX NAME)

**Absolute stereochemistry.**



2 Morpholineethanol, 4 (cyclobutylcarbonyl) 2 (3,4-dichlorophenyl)-,  
methanesulfonate (ester), (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

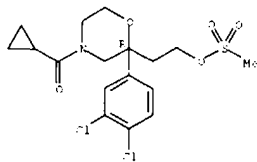


RN 312261-46 4 CAPLUS  
CN 2 Morpholineethanol, 4-(cyclopropylcarbonyl)-2-(3,4-dichlorophenyl) ,  
methanesulfonate (ester), (2R)- (SC) (CA INDEX NAME)



15. ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

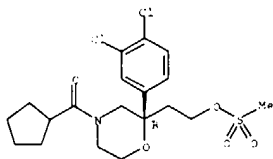
Absolute stereochemistry.



RN 312261-47-5 CAPLUS

CN 2-Morpholineethanol, 4-(cyclopentylthio)-2-[(3,4-dichlorophenyl)methanesulfonate (ester)], (2R)-(9CI) (CA INDEX NAME)

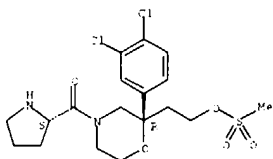
Absolute stereochemistry.



RN 312261-49-7 CAPLUS

CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(2S)-2-pyrrolidinylthio]ethyl]-methanesulfonate (ester), (2R)-(9CI) (CA INDEX NAME)

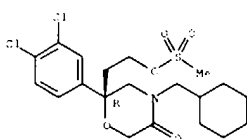
Absolute stereochemistry.



RN 312261-51-1 CAPLUS

CN 1-Piperidineethoxyacetic acid, 4-[(2R)-2-[(3,4-dichlorophenyl)-2-[(2S)-2-pyrrolidinylthio]ethyl]-methanesulfonate (ester)], (2R)-(9CI) (CA INDEX NAME)

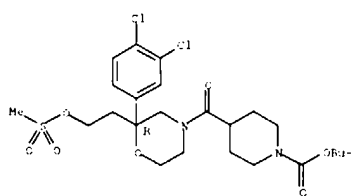
15. ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



15. ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

[(methylsulfonyloxy)ethyl]-4-morpholinyl]carboxylate, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

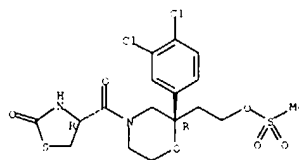
Absolute stereochemistry.



RN 312261-52-2 CAPLUS

CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[(2R)-2-oxo-4-thiazolidinyl]carboxylate], methanesulfonate (ester), (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312261-54-3 CAPLUS

CN 3-Morpholineethanol, 4-[(pyrrolidinylmethyl)-6-(3,4-dichlorophenyl)-6-[(2-methylsulfonyloxy)ethyl]-2-oxo-4-thiazolidinyl]carboxylate, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

15. ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 20001707149 CAPLUS

DOCUMENT NUMBER: 133:281791

TITLE: Preparation of novel morpholine derivatives and their affinity for human NK1 receptors of substance P

INVENTOR(S): Douroux, Jean Philippe; Emonds Alt, Xavier; Gueule, Patrick; Proietto, Vincenzo

PATENT ASSIGNER(S): Sanofi Synthelabo, Fr.

SOURCE: PCT Int. Appl., 72 pp.

CLASS: F19K2

DOCUMENT TYPE: Patent

LANGUAGE: French

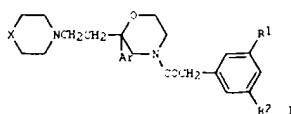
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2000058292	A1	2000/09/05	WO 2000-FR695	2000/03/21
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BF, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GR, HU, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NC, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM			
HW:	GH, GM, KE, LS, MW, SE, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, HU, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
FR 2791346	A1	2000/05/25	FR 1999-3854	1999/03/25
FR 2791346	B3	2001/04/27		
EP 1165528	A1	2002/01/02	EP 2000-911069	2000/03/21
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LV, NL, SE, MC, PT, IE, SI, TJ, LV, FI, RO			
BK 2000099281	A	2002/01/22	FR 2000-9281	2000/03/21
JP 2002540155	T2	2002/11/26	JP 2000-807795	2000/03/21
EE 200102495	A	2002/12/16	EE 2001-499	2000/03/21
AU 756855	B2	2003/01/23	AU 2000-33016	2000/03/21
AM 2000034516	A5	2000/10/16		
NZ 513674	A	2000/05/10	NZ 2000-513674	2000/03/21
ZA 2001000061	A	2002/02/24	ZA 2001-0061	2001/02/23
SG 165923	A	2002/05/31	SG 2001-165923	2001/09/19
NO 2001004632	A	2001/11/26	NO 2001-4632	2001/09/24
US 6566750	B1	2003/01/14	US 2001-937279	2001/09/24
HR 2001000794	A1	2002/08/31	HR 2001-704	2001/09/25
PRIORITY APPL. INFO.:			FR 1999-3854	A 1999/03/25
			WO 2000-FR695	W 2000/03/21

OTHER SOURCE(S): MARPAT 133:281791

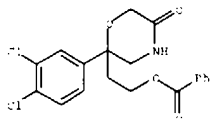
ST



AB The title compds. I [Ar = substituted Ph; X = R2N, R3NH; R1 = Cl, Br,

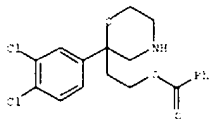
15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
alkyl; CF3; R2 = alkyl, cycloalkyl, ORARCONR6R7), exhibiting a strong  
affinity and high degree of selectivity with respect to human NK1  
receptors of substance P, were prepd. Ex.: (i) 2-[2-(4-  
cyclohexylpiperazin-1-yl)ethyl]-2-(3,4-dichlorophenyl)-4-[2-(3,5-  
dichlorophenyl)acetyl]morpholine dihydrochloride was prepd.  
IT 299427-98-8P 299427-99-9P 299428-00-5P  
299428-05-0P 299428-06-1P 299428-07-2P  
299428-08-3P 299428-09-4P 299428-10-7P  
299428-11-8P 299428-12-9P 299428-13-0P  
299428-15-2P 299428-16-3P 299428-20-9P  
R1: RCT (Reactant); STN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of morpholine derivs. and their affinity for human NK1  
receptors of substance P)  
RN 299427-98-8 CAPLUS  
CN 3 Morpholine, 6-[2-(benzyl)oxyethyl]-6-(3,4-dichlorophenyl)-, (1)  
(9CI) (CA INDEX NAME)

Rotation (-).



RN 299427-99-9 CAPLUS  
CN 2 Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzate (ester), (-)- (9CI)  
(CA INDEX NAME)

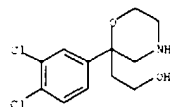
Rotation (-).



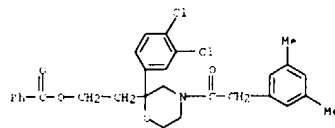
RN 299428-00-5 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

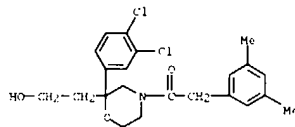
15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 299428-05-0 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-  
, benzoate (ester) (9CI) (CA INDEX NAME)

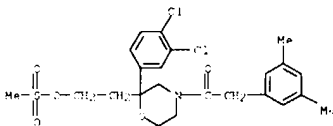


RN 299428-06-1 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-  
(9CI) (CA INDEX NAME)

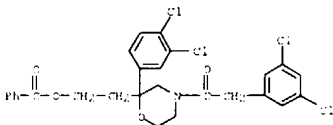


RN 299428-07-2 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-  
, methanesulfonate (ester) (9CI) (CA INDEX NAME)

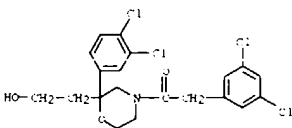
15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



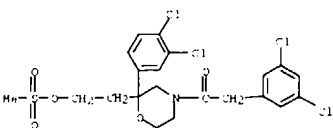
RN 299428-08-3 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dichlorophenyl)acetyl]-  
, benzoate (ester) (9CI) (CA INDEX NAME)



RN 299428-09-4 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dichlorophenyl)acetyl]-  
(9CI) (CA INDEX NAME)

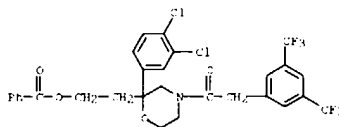


RN 299428-10-7 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dichlorophenyl)acetyl]-  
, methanesulfonate (ester) (9CI) (CA INDEX NAME)

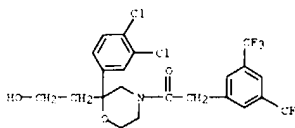


15 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

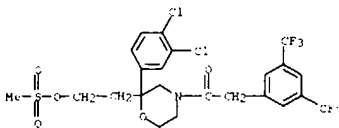
RN 299428-11-8 CAPLUS  
CN 2-Morpholineethanol, 4-[[3,5-bis(trifluoromethyl)phenyl]acetyl]-2-(3,4-  
dichlorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 299428-12-9 CAPLUS  
CN 2-Morpholineethanol, 4-[[3,5-bis(trifluoromethyl)phenyl]acetyl]-2-(3,4-  
dichlorophenyl)- (9CI) (CA INDEX NAME)

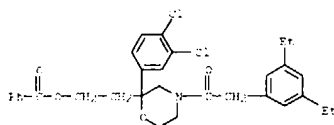


RN 299428-13-0 CAPLUS  
CN 2-Morpholineethanol, 4-[[3,5-bis(trifluoromethyl)phenyl]acetyl]-2-(3,4-  
dichlorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

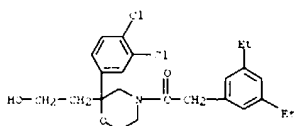


RN 299428-14-2 CAPLUS  
CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(3,5-dimethylphenyl)acetyl]-  
, benzoate (ester) (9CI) (CA INDEX NAME)

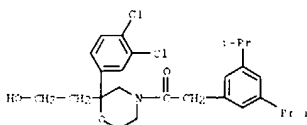
L5 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 299428 16-3 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-((3,5-diethylphenyl)acetyl))- (9CI) (CA INDEX NAME)



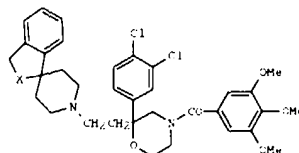
RN 299428 20-9 CAPLUS  
CN 2-Morpholineethanol, 4-[[3,5-bis(1-methyl-ethyl)phenyl]acetyl]-2-((3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

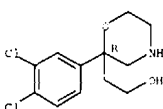
ACCESSION NUMBER: 2000:508635 CAPLUS  
DOCUMENT NUMBER: 133:281740  
TITLE: Combined tachykinin receptor antagonist: synthesis and stereochemical structure-activity relationships of novel morpholine analogues  
AUTHOR(S): Nishi, T.; Ishibashi, K.; Takemoto, T.; Nakajima, K.; Fukazawa, T.; Ito, Y.; Itoh, K.; Mukaiyama, O.; Yamaguchi, T.  
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1665-1668  
CODEN: BMCLFF; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 133:281740  
GI



AB The authors report herein the synthesis and stereochem. structure-activity relations of novel morpholine analogs 1 (X = SiO<sub>2</sub>, CHOH) with regards to NK1, NK2 and NK3 tachykinin receptor binding affinity. An essential requirement for more potent binding affinities was controlled by abs. configuration, (5R)-1 (X = SiO<sub>2</sub>) and (5R)-1 (X = CHOH) exhibited high binding affinities for NK1, NK2 and NK3 receptors.  
IT 191673-56-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(resistant for prepn. of arylmorpholinylethanol as tachykinin receptor antagonists)  
RN 191673-56-0 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-, (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:457067 CAPLUS  
DOCUMENT NUMBER: 133:89533  
TITLE: Method for preparing (R)-(+)-3-[[1-[2-[4-benzoyl-2-(3,4-difluorophenyl)morpholin-2-yl]ethyl]-4-phenylpiperidin-4-yl]-1,1-dimethylurea  
INVENTOR(S): Aulombard, Alain; Bernon, Françoise; Bonnetry, Sabrina; Bureau, Alain; Caboc, Claude; Leduc, Eric  
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.  
SOURCE: PCT Int. Appl., 47 pp.  
CODEN: PXXXX2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACT. NUM. COUNT: 1  
PATENT INFORMATION:

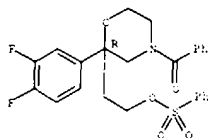
PATENT NO.	WIND	DATE	APPLICATION NO.	DATE
WO 2000039126	A1	20000706	WO 1999-FR3123	19991214
W: AE, AL, AM, AT, AU, AZ, BA, BR, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, IE, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TH, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BI, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2787740	A1	20000630	FR 1998-16410	19981223
EP 1140923	A1	20011010	EP 1999 958317	19991214
EP 1140924	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002534462	T2	20021008	JP 2000-591047	19991214
JU 3388232	K2	20030317		
AT 233759	F	20030315	AT 1999-958317	19991214
US 6392039	B1	20020521	US 2001-868562	20010619
PRIORITY APPL. INFO.: FR 1998-16410 A 19981223 WO 1999-FR3123 W 19991214				

AB The title compd. was prepd. by dimethylurea-alkylation of (+)-[2-[2-(4-amino-4-phenylpiperidin-1-yl)ethyl]-2-(3,4-difluorophenyl)morpholin-4-yl]phenylethanol.  
IT 280766-21-4P

RL: IMF (Industrial manufacture); RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(method for prepn. (R)-(+)-3-[[1-[2-[4-benzoyl-2-(3,4-difluorophenyl)morpholin-2-yl]ethyl]-4-phenylpiperidin-4-yl]-1,1-dimethylurea])  
RN 280766-21-4 CAPLUS  
CN 2-Morpholineethanol-1, 4-benzoyl-2-(3,4-difluorophenyl)-, benzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



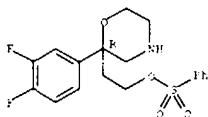
IT 280766-25-8

KL: RCT (Reactant): RACT (Reactant or reagent)  
 (method for prep. of (2R)-2-(3-[[1-[2-[4-phenyl-2-(3,4-difluorophenyl)morpholin-2-yl]ethyl]-4-phenylpiperidin-4-yl]-1,1-dimethylurea])

RN 280766-25-8 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzenesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:401822 CAPLUS

DOCUMENT NUMBER: 133:30735

TITLE: Preparation of (morpholinylalkyl)cyclohexylpiperidine derivatives as tachykinin antagonists  
 Nishi, Takahide; Takemoto, Toshiyuki; Yamaguchi, Takeshi

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PXXXX2

DOCUMENT TYPE: Patent

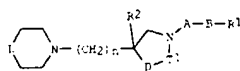
LANGUAGE: Japanese

FAMILY APP. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	WIND	DATE	APPLICATION NO.	DATE
WO 2000034274	A1	20000615	WO 1999-34995	19991204
W: AU, BR, CA, CN, CZ, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, US, ZA				
RW: AT, BE, CH, CY, EE, EL, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000229568	A2	20000822	JP 1999-34995	19991204
PRIORITY AFFIL. INFO.: JP 1999-351286 A 19991210				
OTHER SOURCE(S): MARPAT 133:30735				

GI



AB The title compds. 1 [T1 - (CH2)m R1 and R2 are each optionally substituted aryl or optionally substituted heteroaryl; A is CH2, CO or SO2; B is a single bond, alkylene or alkenylene; D is O or S; L is NR3 or CR3(R4); R3 is optionally substituted cycloalkyl or an optionally substituted satd. heterocyclic group; R4 is alkyl, amino, acylamino, etc.; m is 0, 1 or 2; and n is 1 to 6] are prepd. in an in vitro test for NK1 receptor antagonism. 1 [2-[(2R)-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]-4-cyclohexylpiperidine-4-carboxamide showed IC50 of 22 nM/mL. Formulations are given.

IT 273378-25-9 273378-26-0

KL: RCT (Reactant): RACT (Reactant or reagent)  
 (prepn. of (morpholinylalkyl)cyclohexylpiperidine derivs. as tachykinin antagonists)

RN 273378-25-9 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

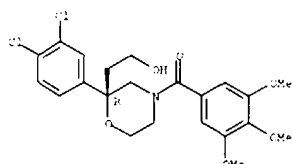
CM 1

CRN 191673-57-1

CMF C22 H25 Cl2 N O6

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).



CM 2

CRN 75-75-2

CMF C14 H13 S



RN 200008-26-9 CAPLUS

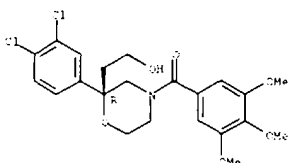
CN Benzenesulfonate (salt), 4-nitro-, compd. with (2R)-2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-2-morpholineethanol 1 (111) (9CI) (CA INDEX NAME)

CM 1

CRN 191673-57-1

CMF C22 H25 Cl2 N O6

Absolute stereochemistry. Rotation (+).

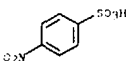


CM 2

CRN 128 42 1

L5 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CMF C6 H5 N O5 S



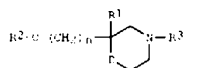
REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 12 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2000:302442 CAPLUS  
 DOCUMENT NUMBER: 1742308446  
 TITLE: Preparation of morpholines or thiomorpholines  
 INVENTOR(S): Nishi, Takahide; Takenita, Toshiyasu  
 PATENT ASSIGNER(S): Sankyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODES: JKKXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ASS. NUM. COUNT: 1  
 PATENT INFORMATION:

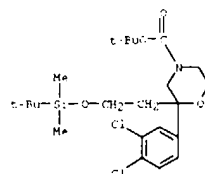
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000128872	A2	20000509	JP 1998-101895	19981029
PRIORITY ABST. INFO.:			JP 1998-001886	19981023
OTHER SOURCE(S):			CASREACT 1742308446; MARPAT 182108446	



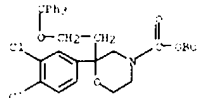
AB Title: Synthesis of 1,2,3,4-tetrahydro-2H-pyridine-2-thione (R2 = CH3-protecting group; R3 = NH2-protecting group; n = 1-6) are prepd. by reaction of CH3CH(R1)CH2CH(R2)CH(R3) (R1, R2, n = same as 1) with HO(CH2)2NH(R3) (R3 = same as 1) and halogenating agents and cyclization of XCH2CH(R1)(CH2CH2NH(R3)) (CH2)2CH(R2) (R1, R2, n = same as 1; X = hal) with bases. 4-[1-(2-(triphenylmethoxyethyl)vinyl)]-1,2-dichlorobenzene was reacted with tert-Bu (2-hydroxyethyl)carbamate and N-iodosuccinimide in MeCN at 70.degree. for 1.5 h to give 63% tert-Bu (2-(3-(triphenylmethoxy-1-(3,4-dichlorophenyl)-1-iodomethylpropoxy)ethyl)carbamate, which was cyclized in the presence of NaH in DMF at 70.degree. for 2 h to give 77% tert-Bu (2-(3-(triphenylmethoxyethyl)-2-(3,4-dichlorophenyl)morpholine-4-carboxylate).

IT 191673-51-5P 265998-02-5P  
 RI: DMF (Industrial manufacture); SEN (Synthetic preparation); FREE (Preparation)  
 (prepn. of morpholines by addn. of arom. vinyl ethyl ethers with hydroxyethylamines and halogenating agents and cyclization of propoxyethylamines)  
 RN 191673-51-5 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-(3-(4-dichlorophenyl)-2-(2-(1,1-dimethylethyl)-1-methyl-1-oxoethyl)oxyethyl)-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

LS ANSWER 12 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 265998-02-5 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-(3-(4-dichlorophenyl)-2-(2-(triphenylmethoxy)ethyl)-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

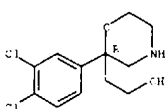


LS ANSWER 13 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2000:314957 CAPLUS  
 DOCUMENT NUMBER: 13314627  
 TITLE: A versatile method for the preparation of 2,2-disubstituted morpholine analogues  
 AUTHOR(S): Takemoto, Toshiyasu; Ito, Yukiko; Nishi, Takahide  
 CORPORATE SOURCE: Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan  
 SOURCE: Tetrahedron Letters (2000), 41(11), 1785-1788  
 CODES: TELPAB; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 13314627

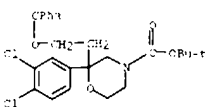
AB A versatile synthetic method for the prepn. of 2,2-disubstituted morpholines is described. Iodomethylation of 1,1-disubstituted olefins with (tert-butoxycarbonyl)aminoethanol using pyridinium iodide proceeded smoothly in a regioselective manner and the following cyclization was accomplished in good yield. This method was successfully applied for the prepn. of a key intermediate of a tachykinin receptor antagonist.

IT 191673-56-0P  
 RI: POC (Purification or recovery); SEN (Synthetic preparation); FREE (Preparation)  
 (prepn. of morpholines)  
 RN 191673-56-0 CAPLUS  
 CN 2-Morpholineethanol, 2-(3-(4-dichlorophenyl)-, (2R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry: Rotation (+).

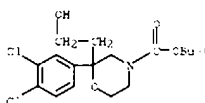


IT 265998-02-5P 271245-43-3P  
 RI: RCT (Reactant); SEN (Synthetic preparation); FREE (Preparation); FACT (Reactant or reagent)  
 (prepn. of morpholines)  
 RN 265998-02-5 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-(3-(4-dichlorophenyl)-2-(2-(triphenylmethoxy)ethyl)-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)



RN 271245-43-3 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-(3-(4-dichlorophenyl)-2-(2-hydroxyethyl)-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

LS ANSWER 13 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

14 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1999:48749 CAPLUS  
 DOCUMENT NUMBER: 131:116241  
 TITLE: Preparation of spirapiperidine and spirapiperidinium derivatives exhibiting antagonism to all of NK1, NK2 and NK3 receptors  
 INVENTOR(S): Nishi, Takahide; Yamaguchi, Takeshi; Ito, Yukiko; Takemoto, Toshiyuki; Nakajima, Katsuyoshi  
 PATENT ASSIGNER(S): Sanryo Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 95 pp.  
 COUN: J1XKX2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY APP. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 99/07642	A1	1999-02-09	WO 1998-12276	1998-01-22
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US				
FW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2318361	AA	1999-07-29	CA 1999-1318361	1999-01-22
AU 99/07642	A1	1999-08-09	AU 1999-20749	1999-01-22
JP 141924	B2	2001-11-14		
J 2000034285	A2	2000-02-02	JP 1999-14958	1999-01-22
BR 99/07642	A	2000-02-02	BR 1999-7172	1999-01-22
EP 1057827	A1	2000-12-06	EP 1999-901174	1999-01-22
EP 1057827	B1	2003-03-19		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, FI				
RU 2184735	C2	2000-07-10	RU 2000-118815	1999-01-22
NZ 505599	A	2000-06-06	NZ 1999-505599	1999-01-22
AT 249435	E	2000-05-15	AT 1999-901134	1999-01-22
US 6,511,975	E	2000-01-28	US 2000-016092	2000-01-14
NO 200003630	A	2000-09-20	NO 2000-3630	2000-07-14
PRIORITY APPL. INFO.:			JP 1998-11112	A 1998-01-23
			JP 1998-10459	A 1998-05-15
			WO 1999-3F226	W 1999-01-22

OTHER SOURCE(S): MARPAT 131:116241  
 GI For diastereois, see printed CA issue.  
 AB Comps. having general formula (I) or (II), pharmaceut. acceptable salts thereof and esters or other derivs. of the same: [R1, R2 = optionally substituted aryl or heteroaryl; A = CH2, CO, SO2; R = single bond, alkylene, alkenylene; D = C, S; E = alkylene, alkenylene; G, G1, G2 ring; G = optionally substituted C5-8 cycloalkene ring or C5-8 cycloalkane; Ar = optionally substituted aryl ring or heteroaryl ring; R = lower alkyl; n = 1-3], which are useful for the treatment and prevention of asthma, and/or bronchitis, rhinitis, allergy, and urinary incontinence. (No data), see prepd. Thus, a suspension of 2-[(2R)-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzyl)morpholin-2-yl]ethyl methanesulfonate, spiro[(2-hydroxyindan-1,4'-piperidine)] hydrochloride, NaHCO3, and KI in DMF was stirred at 80 degree for 8 h to give 731 1-[(2R)-[3,4-dichlorophenyl]-4-(3,4,5-trimethoxybenzyl)morpholin-2-yl]ethyl]spiro[(2-hydroxyindan-1,4'-piperidine), (III)]. A dispersant contg. III was formulated.  
 17 191673-58-2

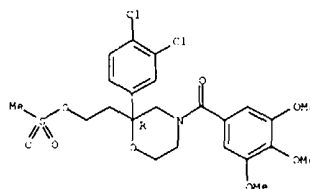
15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1999:47846 CAPLUS  
 DOCUMENT NUMBER: 131:11947  
 TITLE: Preparation of allyloxyacylated heterocyclic derivatives as antagonists of tachykinin NK2 receptor  
 INVENTOR(S): Nishi, Takahide; Yamaguchi, Takeshi  
 PATENT ASSIGNER(S): Sanryo Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 292 pp.  
 COUN: J1XKX2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY APP. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 99/07642	A1	1999-02-09	WO 1998-12276	1998-01-22
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US				
FW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2312770	AA	1999-08-10	CA 1998-1312770	1998-01-24
AU 99/12523	A1	1999-06-16	AU 1999-13524	1998-01-24
JP 134948	B2	2001-10-28		
JP 11240880	A2	1999-09-07	JP 1998-345926	1998-11-04
BR 9815348	A	2000-07-07	BR 1998-15348	1998-11-04
EP 1048668	A1	2000-11-02	EP 1998-457185	1998-11-04
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, FI				
RU 2174122	C1	2001-05-27	RU 2000-114184	1998-11-04
CN 1121358	E	2002-09-17	CN 1998-813654	1998-11-04
NZ 2000024433	A	2000-08-03	NZ 2000-2833	2000-06-02
US 6,288,659	B1	2001-09-11	US 2000-086728	2000-06-05
PRIORITY APPL. INFO.:			JP 1997-334608	A 1997-11-04
			WO 1998-3F5590	W 1998-11-04

OTHER SOURCE(S): MARPAT 131:11947  
 GI

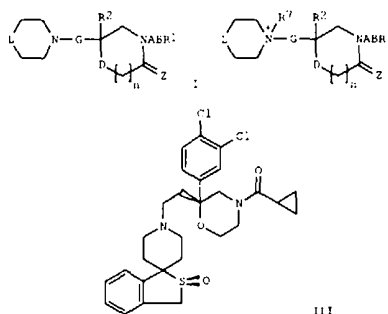
15 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of spirapiperidine and spirapiperidinium derivs. exhibiting antagonism to all of NK1, NK2 and NK3 receptors as therapeutics)  
 RN: 191673-58-2 CAPLUS  
 CN: 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-, methanesulfonate (ester), (2R)-(9C) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

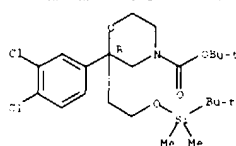
15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



AB Claimed and prepd. are compts. represented by general formula (I or II): R1 = C3-7 cycloalkyl, (un)substituted 3-7 membered sate, heteroaryl, substituted cycloalkyl; R2 = (un)substituted aryl, or heteroaryl; A = CH2, CO, SO2; E = single bond, C1-4 alkylene, C2-4 alkenylene; D = C, S; G = C1-4 alkylene, C2-4 alkenylene; L = NR3, CH4R5; wherein R3 = (un)substituted aryl or heteroaryl; R4 = H, (un)substituted aryl, heteroaryl, cycloalkyl, or 3-7 membered sate, heteroaryl; R5 = lower alkyl, NR2, acylamino, acylamino-lower alkyl, N-(lower alkyl)acylamino-lower alkyl, OH, lower alkoxy, etc.; or CH2R4 = C5-8 cycloalkane or cycloalkene, (un)substituted 5-8 membered sate, heteroaryl optionally fused with (un)substituted aryl or heteroaryl ring; R6 = lower alkyl; a = m, or E = 0,11 having a selective antagonism to NK2 receptors and pharmaceut. acceptable salts or derivs. thereof. These compts. are useful for the treatment or prevention of asthma, bronchitis, rhinitis, allergy, and urinary incontinence. Thus, 2-[(2R)-[3,4-dichlorophenyl]-4-(cyclopropanecarbonyl)morpholin-2-yl]ethanol methanesulfonate (prepn. given) was condensed with spiro[benzo[1,3]dioxane-1,4'-piperidine]-(2S)-oxide hydrochloride in the presence of NaHCO3 and VI in DMF at 80 degree for 8 h to give the title compd. (III). In a NK2 receptor binding assay, III showed IC50 of 0.76 nM for inhibiting the binding of [3H]-SR-48568 to crude alum. membrane prepn. from male Hartley guinea pig. Pharmaceutical formulations, e.g. a granule formulation contg. III, were described.  
 191673-60-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of allyloxyacylated heterocyclic derivs. as antagonists of tachykinin NK2 receptor for therapeutic use)  
 RN: 191673-60-6 CAPLUS  
 CN: 4-Morpholinecarboxylic acid, 2-(3,4-dichlorophenyl)-2-[2-[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)-(9C) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

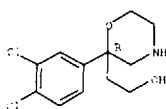


17 191673-56-0P 226699-62-3P 226699-63-4P  
 226699-64-5P 226699-65-6P 226699-66-7P  
 226699-67-8P 226699-68-9P 226699-69-0P  
 226699-74-7P 226699-77-0P 226699-82-7P  
 226699-84-9P 226699-92-9P 226699-94-1P  
 226699-95-2P 226700-07-8P 226700-09-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of allylic-like arylated heterocyclic derivs. as antagonists of tachykinin NK2 receptor for therapeutic use)

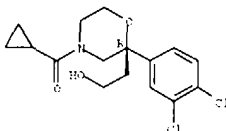
RN 226699-62-3 CAPLUS  
 CN 2-Morpholineethanol, 4-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 226699-62-3 CAPLUS  
 CN 2-Morpholineethanol, 4-(cyclopropylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

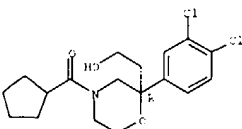


RN 226699-63-4 CAPLUS  
 CN 2-Morpholineethanol, 4-(cyclopentylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN 226699-64-5  
 CMF C18 H23 Cl2 N O3

Absolute stereochemistry.



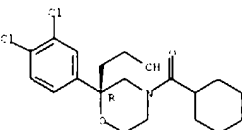
CM 2

CRN 75-75-2  
 CMF C14 H13 S



RN 226699-65-7 CAPLUS  
 CN 2-Morpholineethanol, 4-(cyclohexylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226699-66-8 CAPLUS  
 CN 2-Morpholineethanol, 4-(cyclohexylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226699-66-7  
 CMF C13 H23 Cl2 N O3

Absolute stereochemistry.

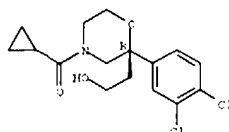
15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

(2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226699-62-3  
 CMF C15 H19 Cl2 N O3

Absolute stereochemistry.



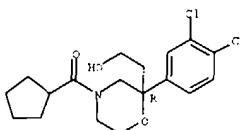
CM 2

CRN 75-75-2  
 CMF C14 H13 S



RN 226699-64-5 CAPLUS  
 CN 2-Morpholineethanol, 4-(cyclopentylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

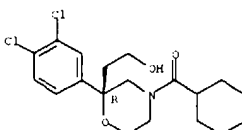
Absolute stereochemistry.



RN 226699-65-6 CAPLUS  
 CN 2-Morpholineethanol, 4-(cyclopentylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



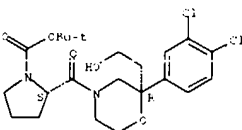
CM 2

CRN 75-75-2  
 CMF C14 H13 S



RN 226699-66-9 CAPLUS  
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester, (2S)-, nonmethanesulfonate (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



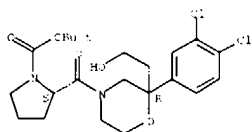
RN 226699-69-0 CAPLUS  
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester, (2S)-, nonmethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226699-68-9  
 CMF C22 H30 Cl2 N2 O5

Absolute stereochemistry.

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



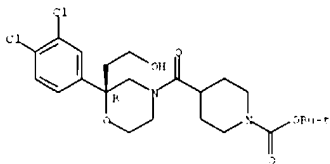
CM 2

CRN 75-75-2  
CMF C H4 O3 S

RN 226699-74-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



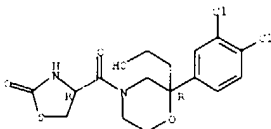
RN 226699-77-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-2-(3,4-dichlorophenyl)-2-(2-hydroxyethyl)-4-morpholinyl]carbonyl]-, 1,1-dimethylethyl ester, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226699-74-7  
CMF C24 H32 Cl2 N2 O5L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
CMF C16 H18 Cl2 N2 O4 S

Absolute stereochemistry.



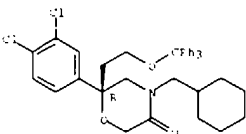
CM 2

CRN 75-75-2  
CMF C H4 O4 S

RN 226699-92-9 CAPLUS

CN 3-Morpholinone, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-[(2-triphenylmethoxyethyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



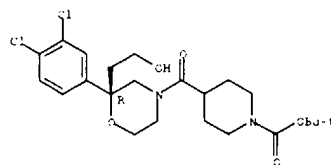
RN 226699-94-1 CAPLUS

CN 3-Morpholinone, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-[(2-hydroxyethyl)-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



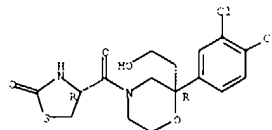
CM 2

CRN 75-75-2  
CMF C H4 O4 S

RN 226699-82-7 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[[(4R)-2-(oxo-4-thiazolidinyl)carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



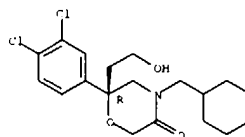
RN 226699-84-9 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[[(4R)-2-(oxo-4-thiazolidinyl)carbonyl]-, (2R)-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226699-82-7

L5 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



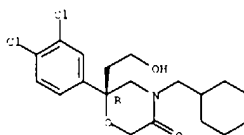
RN 226699-95-2 CAPLUS

CN 3-Morpholinone, 4-(cyclohexylmethyl)-6-(3,4-dichlorophenyl)-6-[(2-hydroxyethyl)-, (6R)-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226699-94-1  
CMF C19 H25 Cl2 N O3

Absolute stereochemistry.



CM 2

CRN 75-75-2  
CMF C H4 O4 S

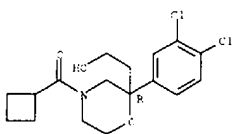
RN 226700-07-8 CAPLUS

CN 2-Morpholineethanol, 4-(cyclobutylcarbonyl)-2-(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



15 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

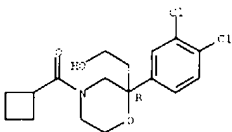


RN 226790 09 0 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-2-((1,1-dimethylethyl)dimethylsilyloxy)ethyl)-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

CM 1

CRN 226790 04-8  
CMP C17 H21 Cl2 N O3

Absolute stereochemistry.



CM 2

CRN 75 75 2  
CMP C 14 0 4 5



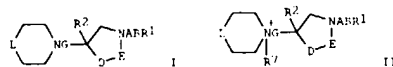
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

15 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:115895 CAPLUS  
DOCUMENT NUMBER: 1993:218281  
TITLE: Saturated heterocyclic compounds as substance P and neurokinin A receptor antagonists for treatment of tachykinin-related diseases  
INVENTOR(S): Nishi, Takehider; Fukuzawa, Tetsuya; Kurata, Hiroshi; Ishibashi, Kimisige; Nakajima, Katsuyoshi; Yamaguchi, Takeshi; Ito, Kazuhiro  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 317 pp.  
CDEEN: JKKKAP  
DOCUMENT TYPE: Patent  
LANGUAGES: Japanese  
FAMILY APP. NIM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 1124445	A2	19990216	JP 1998-169667	19980527
JP 3192631	B2	20010706		
JP 11286443	A2	19991019	JP 1999-16262	19980527
			JP 1997-160126	A 19970528
			JP 1998-169667	A1 19980527

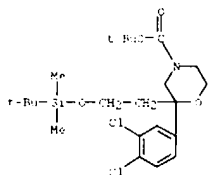
PRIORITY APPL. INFO.:  
OTHER SOURCE(S): MARPAT 130:218281  
GI



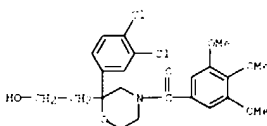
AB Saturated heterocyclic compds. (I): R1, R2 = substituted aryl or heteroaryl; A = CH3, CO, SO2; B = bond, alkylene, alkenylene; D = O, S; E = alkylene; G = alkylene, alkenylene; L = -N(R3)- [R3 = substituted aryl], -C (R4) (R5) [R4 = H, aryl, heteroaryl; R5 = -CO-R6 (R6 = alkyl, amine residue, substituted aryl), substituted acyl, NH2, OH, substituted hydroxyalkyl, alkoxyl, alkylthio, alkylsulfoxide; R4 and R5 = substituted imidazolidine, substituted oxazolidine; R4 = aryl, heteroaryl if R4 bind to R6 with single bond] and (II): R7 = low alkyl] and their pharmaceut. acceptable salts are claimed as substance P and neurokinin A (NK1 and NK2) receptor antagonists for treatment of tachykinin-related diseases including asthma, bronchitis, rhinitis, allergy, urinary incontinence. NK1 and NK2 receptor antagonist activities of I and II were tested in animal models. Formulation examples of powders, granules, capsules, and tablets were given.

IT 191673-51-5P 191673-52-6P 191673-53-7P  
221130-15-0P  
RI: RCT (Reactant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or Reagent)  
(Saturated heterocyclic compds. as substance P and neurokinin A receptor antagonists for treatment of tachykinin-related diseases)  
RN 191673 51 5 CAPLUS

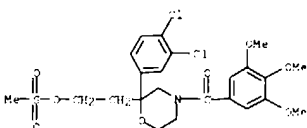
15 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-2-((1,1-dimethylethyl)dimethylsilyloxy)ethyl)-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)



RN 191673 52 6 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-2-((1,1-dimethylethyl)dimethylsilyloxy)ethyl)-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

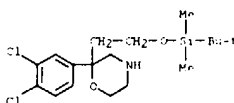


RN 191673 53 7 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-2-((1,1-dimethylethyl)dimethylsilyloxy)ethyl)-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)



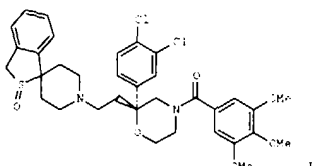
RN 221130 15 0 CAPLUS  
CN Morpholine, 2-((3,4-dichlorophenyl)-2-((1,1-dimethylethyl)dimethylsilyloxy)ethyl)- (9C1) (CA INDEX NAME)

15 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L5 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1998:734343 CAPLUS  
 DOCUMENT NUMBER: 130166453  
 TITLE: Preparation of optically active 2-[[2-[(2R)-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]spiro[benzo(c)thiophene-1(3H),4'-piperidine] (2S)-oxide] oxide salts for treatment of tachykinin-mediated diseases  
 INVENTOR(S): Nishi, Takahider; Yamaguchi, Takeshi  
 PATENT ASSIGNOR(S): Sankyo Company, Limited, Japan  
 SOURCE: EPO Int. Appl., 32 pp.  
 CODEN: PIXXDE  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854201	A1	19981230	WO 1998-02308	19980527
W: AU, BR, CA, CH, CZ, DE, DK, ES, FI, FR, GB, GR, IL, IT, JP, KR, NL, NO, NZ, PL, PT, RU, SE, SG, SI, SK, TR, US				
AU 9474515	A1	19981230	AU 1998-74515	19980527
AU 732384	B2	20010426		
EP 087269	A1	20000322	EP 1998-621817	19980527
EP 987269	B1	20000813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IL, IT, NL, SE, SG, SI, SK, TR, US				
BR 9603729	A	20000911	BR 1998-5713	19980527
NZ 513904	A	20010326	NZ 1998-513904	19980527
NZ 501432	A	20010326	NZ 1998-501432	19980527
RU 2194615	C2	20031010	RU 1999-123631	19980527
AT 247122	E	20030915	AT 1998-523807	19980527
JP 1194240	A2	19990216	JP 1998-146881	19980528
US 6362174	B1	20020326	US 1999-447401	19991123
NO 595847	A	20000128	NO 1999-5847	20001129
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			WO 1998-02308	W 19980527

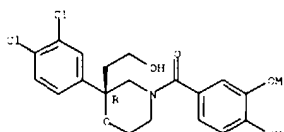


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L5 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Hydrochloride or fumarate of 1-[2-[(2R)-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]spiro[benzo(c)thiophene-1(3H),4'-piperidine] (2S)-oxide (I) having a good oral absorbability and remarkable antagonistic activities to both of NK1 and NK2 receptors is prepd. These compds. are useful for the treatment or prevention of tachykinin-mediated diseases, asthma, bronchitis, allergy, rhinitis, and pollakiuria.  
 2-[[2-[(2R)-(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)morpholin-2-yl]ethyl]methanesulfonate (prepn. given) was condensed with spiro[benzo(c)thiophene-1(3H),4'-piperidine] (2S)-oxide hydrochloride (prepn. given) in the presence of Li in DMF at 80 degree. for 8 h to give I, which was treated with 4N HCl in dioxane to give (+)-I.HCl. (+)-I.HCl in vivo showed ID50 (50% inhibition dosage) of 0.51 mg/kg, p.o., for inhibiting the air way constriction induced by [Nle10] NKA4 101 in guinea pigs. A tablet and capsule formulation contg. (+)-I.HCl were prepd.  
 IT 191673-57-1P 191673-58-2P 191673-60-6P 191673-61-7P  
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of optically active [[(dichlorophenyl)(trimethoxybenzoyl)morpholinyl]ethyl]spiro[benzo(c)thiophene-piperidine] oxide salts for treatment of tachykinin-mediated diseases)  
 RN 191673-57-1 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, (2R)- (9CI) (CA INDEX NAME)

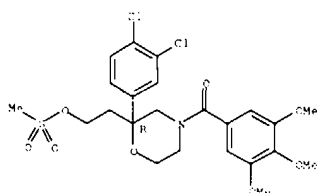
Absolute stereochemistry. Rotation (+).



RN 191673-58-2 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (ester), (2R)- (9CI) (CA INDEX NAME)

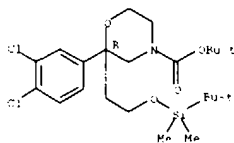
Absolute stereochemistry. Rotation (+).

L5 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



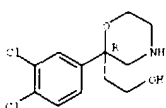
RN 191673-60-6 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-61-7 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzoyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



• RCT

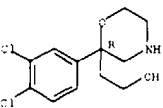
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:734343 CAPLUS  
 DOCUMENT NUMBER: 130166453  
 TITLE: An efficient synthesis of enantiomerically pure 2-[(2R)-arylmorpholin-2-yl]ethanols, key intermediates of tachykinin receptor antagonist  
 AUTHOR(S): Nishi, Takahider; Ishibashi, K-kir; Nakajima, Katsuyoshi; Ito, Masaki; Fukazawa, Tetsuya  
 CORPORATE SOURCE: Medical Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan  
 SOURCE: Tetrahedron: Asymmetry (1998), 9(18), 325; 3262  
 CODEN: TASYE3; ISSN: 0957-4166  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASCRACT 130166453

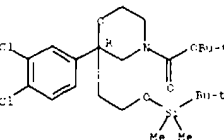
AB We report herein an efficient and practical synthetic method for the prepn. of enantiomerically pure 2-[(2R)-arylmorpholin-2-yl]ethanols, key intermediates of tachykinin receptor antagonist. Sharpless catalytic asym. dihydroxylation was employed to introduce the required abs. stereochem., and cyclization was accomplished by the Mitsunobu reaction.  
 IT 191673-56-0P 191673-60-6P 218292-40-1P  
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of enantiomerically pure (arylmorpholinyl)ethanols)  
 RN 191673-56-0 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-60-6 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

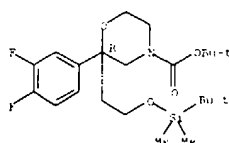
Absolute stereochemistry. Rotation (+).



RN 218292-40-1 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 2-[(3,4-dichlorophenyl)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 3-methyl-2-(dimethylsilyl)xyloxy)-, 1,1-dimethylethyl ester, (2R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry: Rotation (+).



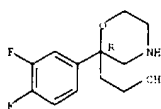
IT 218292-43-4P 218292-45-6P

RE: SPN (Synthetic preparation); PREP (Preparation);  
 (Prep. of enantiomerically pure (silyl-morpholinyl)ethan-1-ol)

RN 218292-43-4 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-, (2R)- (SCI) (CA INDEX NAME)

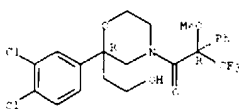
Absolute stereochemistry: Rotation (+).



RN 218292-45-6 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(2R)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl]-, (2R)- (SCI) (CA INDEX NAME)

Absolute stereochemistry:



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:479024 CAPLUS

DOCUMENT NUMBER: 129:136173

TITLE: Preparation of heterocyclic compounds as tachykinin receptor ligands

INVENTOR(S): Emendo Alt, Xavier; Grosserlether, Isabelle; Senele, Patrick; Proietto, Vincenzo; Van Broeck, Didier; Tallades, Joelle

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: U.S., 65 pp., Continuation-part of U.S. 5,641,777.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGES: English

FAMILY ACQ. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780466	A	19980714	US 1996-703729	19960327
FR 2722952	A1	19960802	FR 1995-1016	19950130
FR 2729962	B1	19970418		
FR 2729953	A2	19960902	FR 1995-8046	19950704
FR 2729953	B1	19970801		
FR 2729954	A1	19960802	FR 1995-13005	19951103
FR 2729954	B1	19970801		
ZA 9600694	A	19960826	ZA 1996-694	19960130
US 5641777	A	19970624	US 1996-593938	19960130
JP 2001131171	A2	20010515	JP 2000-342606	19960130
JP 2001172279	A2	20010626	JP 2000-342571	19960130
EP 1156049	A1	20011121	EP 2001-119549	19960130
R: AT, BE, CH, DE, DK, ES, FR, GR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 2002138088	A2	20020514	JP 2001-739406	19960130
EP 1340754	A1	20030903	EP 2003-12771	19960130
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FR 2751654	A1	19980130	FR 1996-9439	19960726
FR 2751654	B1	19981027		
US 5869663	A	19990229	US 1997-820716	19970318
US 6011154	A	20000204	US 1998-4454	19980108
US 5977359	A	19991102	US 1998-175332	19981020
US 6242647	B1	20010605	US 1998-175331	19981020
AU 9930133	A1	19990819	AU 1999-70133	19990519
AU 731788	B2	20010405		

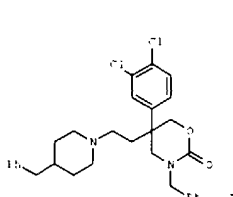
PRIORITY APPL. INFO.:

FR 1995-1016	A	19950130
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FR 1996-9439	A	19960726
AU 1996-45669	A3	19960130
EP 1996-902305	A3	19960130
EP 2001-119949	A3	19960130
JP 1996-523308	A3	19960130
JP 2000-342571	A3	19960130
US 1996-703729	A3	19960327
US 1997-820716	A3	19970318

OTHER SOURCE(S):

MARPAT 129:136173

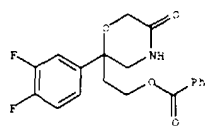
LS ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



AR R(CH2)NOR1R2CH2NR3R4 (R = 4-substituted piperidino, 1-alkyl- or 1-benzyl-4-substituted piperidinium 1-yl, aryl(methyl)pyridinium 1-yl, etc.; R1 = (un)substituted Ph-, indenyl-, pyridyl-, etc.; R2R3 = C2, TH2O, CH2, CH2CH2, NHC(=O), etc.; R4 = (hetero)arylmethyl-, CH2-, CH3-, etc.; m = 2 or 3) were prep. Thus, HOC(CH2)2CH2CH2CH2CH2NH2 (R1 = CH2CH2, 5,4, THF = 2-tetrahydropyran-1-yl) (prep. given) was cyclized with COMB and the product converted in 4 steps to title comp. 1. Data for biol. activity of the title compds. were given.

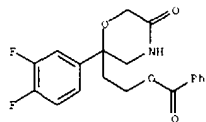
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15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



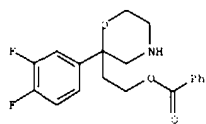
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Rotation (+).



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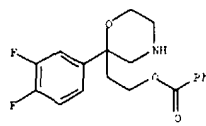
Rotation (+).



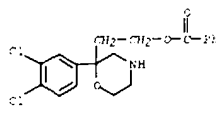
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Rotation (+).

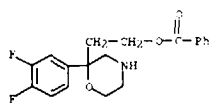
15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



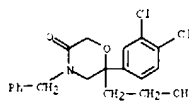
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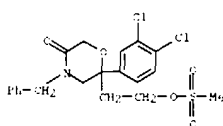


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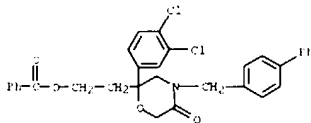


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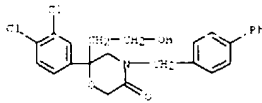
15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



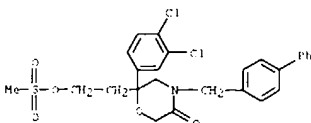
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 CN 3-Morpholineethanol, 6-[2-(benzoyloxyethyl)-4-[(1,1'-biphenyl)-4-ylmethyl]-6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 181642-78-4 CAPLUS  
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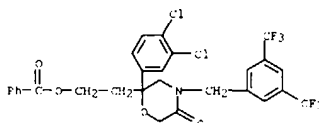


RN 181642-82-8 CAPLUS  
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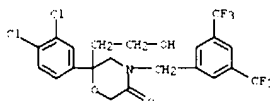


15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

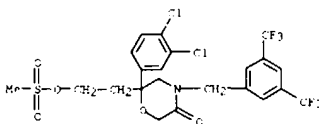
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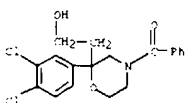
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RN 181642-86-4 CAPLUS  
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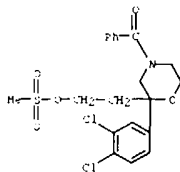
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L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

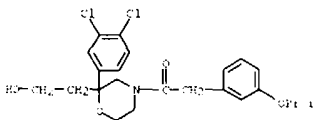
RN 181642-90-0 CAPLUS

CN 2-Morpholineethanol, 4-benzyl-2-(3,4-dichlorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



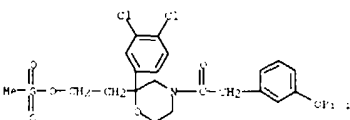
RN 181642-92-2 CAPLUS

CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)



RN 181642-94-4 CAPLUS

CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



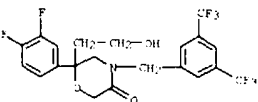
RN 181643-27-2 CAPLUS

CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-6-(3,4-difluorophenyl)-4-(phenylmethyl) (9CI) (CA INDEX NAME)

L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

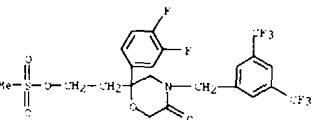
RN 181643-29-6 CAPLUS

CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-(2-hydroxyethyl)] (9CI) (CA INDEX NAME)



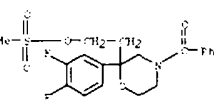
RN 181643-31-2 CAPLUS

CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl] (9CI) (CA INDEX NAME)



RN 181643-32-3 CAPLUS

CN 2-Morpholineethanol, 4-benzyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (-) (9CI) (CA INDEX NAME)

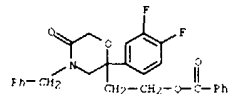


RN 181643-51-6 CAPLUS

CN 2-Morpholineethanol, 4-benzyl-2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

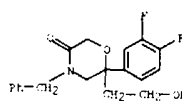
Rotation (-).

L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



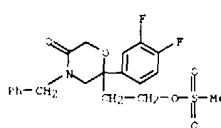
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CN 3-Morpholinone, 6-(3,4-difluorophenyl)-6-(2-hydroxyethyl)-4-(phenylmethyl) (9CI) (CA INDEX NAME)



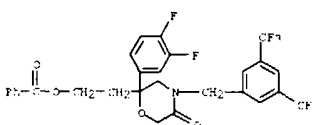
RN 181643-27-6 CAPLUS

CN 3-Morpholinone, 6-(3,4-difluorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]-4-(phenylmethyl) (9CI) (CA INDEX NAME)



RN 181643-28-7 CAPLUS

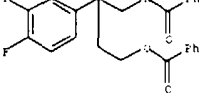
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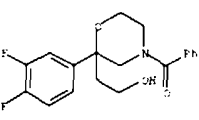
L5 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 181643-52-7 CAPLUS

CN 2-Morpholineethanol, 4-benzyl-2-(3,4-difluorophenyl)-, (-) (9CI) (CA INDEX NAME)



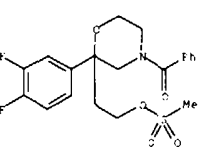
Rotation (-).



RN 181643-53-8 CAPLUS

CN 2-Morpholineethanol, 4-benzyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (-) (9CI) (CA INDEX NAME)

Rotation (-).

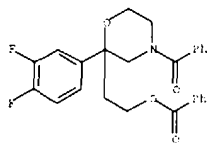


RN 181643-54-9 CAPLUS

CN 2-Morpholineethanol, 4-benzyl-2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

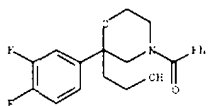
Rotation (-).

15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



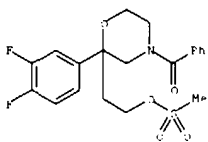
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Rotation (+).



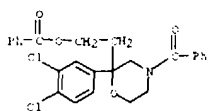
RN 181643-56-1 CAPLUS  
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (+)- (9CI) (CA INDEX NAME)

Rotation (+).

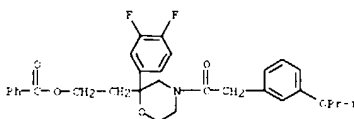


RN 181643-57-2 CAPLUS  
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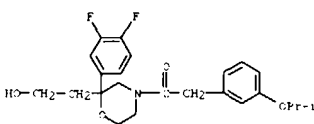
15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



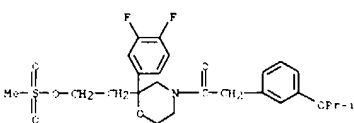
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RN 181643-64-1 CAPLUS  
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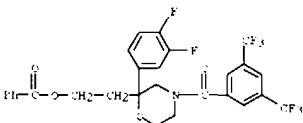


RN 181643-65-2 CAPLUS  
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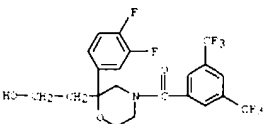


15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

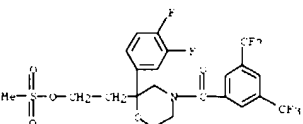
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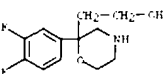
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 CN 2-Morpholineethanol, 4-[(3,5-bis(trifluoromethyl)benzoyl)-2-(3,4-difluorophenyl)-, (9CI) (CA INDEX NAME)



RN 181643-68-5 CAPLUS  
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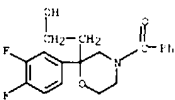


RN 202744-82-9 CAPLUS  
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15 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

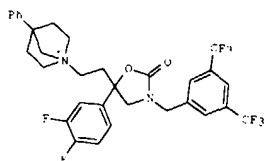
RN 210480-74-5 CAPLUS  
 CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

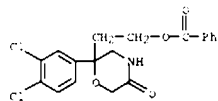
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 DOCUMENT NUMBER: 108154075  
 TITLE: Preparation of 11-azabicyclohept-1-ylalkylloxazolidinones and analogs as neurokinin receptor antagonists  
 INVENTOR(S): Eminda-Ali, Xavier; Gueule, Patrick; Proietti, Vincenzo; Toullet, Joelle; Van, Brock Didier; Santti, Pi.  
 PATENT ASSIGNEE(S): ECT Int. Appl., 57 pp.  
 SOURCE: PCT Int. Appl., 57 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY APP. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804561	A1	19980205	WO 1997-FR1493	19970725
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RW: GH, KE, LS, MW, SD, SZ, TG, ZW, AT, BE, CH, DE, DK, EG, FI, FR, GR, HU, IL, IT, LU, MC, NL, PT, SE, SF, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2751654	A1	19980110	FR 1496-9419	19960726
FR 2751654	B1	19981023		
AN 4738045	A1	19980220	AU 1997-38545	19970725
EP 515982	A1	19980519	EP 1497-93628	19970725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MT, PT, IE, FI				
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NO 9400278	A	19990122	NO 1998-278	19990122
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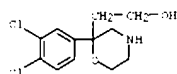


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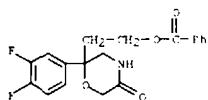
L5 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 181640-70-0 CAPLUS  
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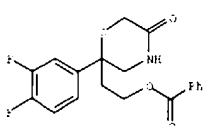


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 CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 181641-24-7 CAPLUS  
 CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)

Rotation (-).



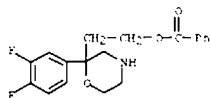
RN 181641-32-7 CAPLUS  
 CN 3-Morpholinone, 6-[2-(benzyloxy)ethyl]-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)

Rotation (+).

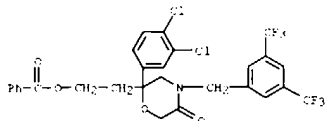
L5 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AR R(CH2)mCH2CH2N(R5) [R = R6(CH2)nX; R2 = (un)substituted Ph, -thienyl, -indolyl, etc.; R/R4 = 0, 2, 4, 6, 8, 10, 12, 14, 16, 18, 20, 22, 24, 26, 28, 30, 32, 34, 36, 38, 40, 42, 44, 46, 48, 50, 52, 54, 56, 58, 60, 62, 64, 66, 68, 70, 72, 74, 76, 78, 80, 82, 84, 86, 88, 90, 92, 94, 96, 98, 100, 102, 104, 106, 108, 110, 112, 114, 116, 118, 120, 122, 124, 126, 128, 130, 132, 134, 136, 138, 140, 142, 144, 146, 148, 150, 152, 154, 156, 158, 160, 162, 164, 166, 168, 170, 172, 174, 176, 178, 180, 182, 184, 186, 188, 190, 192, 194, 196, 198, 200, 202, 204, 206, 208, 210, 212, 214, 216, 218, 220, 222, 224, 226, 228, 230, 232, 234, 236, 238, 240, 242, 244, 246, 248, 250, 252, 254, 256, 258, 260, 262, 264, 266, 268, 270, 272, 274, 276, 278, 280, 282, 284, 286, 288, 290, 292, 294, 296, 298, 300, 302, 304, 306, 308, 310, 312, 314, 316, 318, 320, 322, 324, 326, 328, 330, 332, 334, 336, 338, 340, 342, 344, 346, 348, 350, 352, 354, 356, 358, 360, 362, 364, 366, 368, 370, 372, 374, 376, 378, 380, 382, 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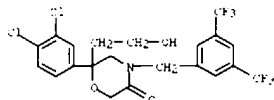
LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 RN 181641-40-7 CAPLUS  
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester) (SCI) (CA INDEX NAME)



RN 181642-82-0 CAPLUS  
 CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-4-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)- (SCI) (CA INDEX NAME)



RN 181642-84-2 CAPLUS  
 CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (SCI) (CA INDEX NAME)



RN 181642-86-4 CAPLUS  
 CN 2-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl)methyl]-6-(3,4-dichlorophenyl)-6-[2-(methylsulfonyloxy)ethyl]- (SCI) (CA INDEX NAME)

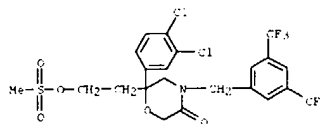
LS ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 ACCESSION NUMBER: 1997:458899 CAPLUS  
 DOCUMENT NUMBER: 127:81436  
 TITLE: Preparation of 3-benzoyl-5-(piperidinomethyl)oxazolidinone and analogs as tachykinin receptor antagonists  
 INVENTOR(S): Nishio, Takahide; Ishibashi, Eiko; Nakajima, Katsumasa; Fukazawa, Tetsuo; Kurata, Hiroshi; Yamaguchi, Takeshi; Ito, Kazuhiko  
 PATENT ASSIGNEE(S): Sankey Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 565 pp.  
 CODES: EPHARM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 776893	A1	19970904	EP 1996-308/11	19961202
EP 776893	B1	20020227		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
RU 2135454	C1	19990827	RU 1996-122851	19961129
CN 1157265	A	19970820	CN 1996-123888	19961201
IL 119729	A1	20010724	IL 1996-119729	19961201
CA 2191615	AA	19970602	CA 1996-2191615	19961202
NO 9605125	A	19970602	NO 1996-5125	19961202
ZA 9619116	A	19970602	ZA 1996-19116	19961202
AO 8074064	A1	19970605	AO 1996-74064	19961202
AG 719158	B2	20000804		
JP 09245275	A2	19980604	JP 1996-321780	19961202
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JP 10152478	A2	19980605	JP 1997-347208	19961202
US 6159967	A	20001212	US 1996-758421	19961202
CZ 288493	B6	20010613	CZ 1996-3521	19961202
AT 219748	E	20020914	AT 1996-308/11	19961202
ES 2170311	C3	20020801	ES 1996-304711	19961202
JP 0985672	A2	19980605	JP 1997-347208	19961202
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JP 2000103751	A2	20000411	JP 1996-318654	19971107
HK 1011466	A1	20020807	HK 1996-112514	19961130
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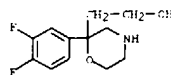
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 JP 1995-313928 A 19951121  
 JP 1995-336389 A 19951225  
 JP 1996-296869 A 19961202  
 JP 1996-321780 A3 19961202  
 US 1996-758421 A3 19961202  
 JP 1997-305110 A3 19971107

OTHER SOURCE(S): MARRAT 127:81436  
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LS ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

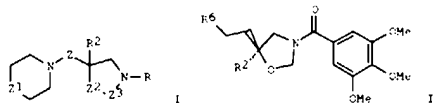


RN 202744-82-5 CAPLUS  
 CN 2-Morpholineethanol, 2-(3,4-difluorophenyl)- (SCI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

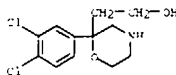


AB Title compds. [1: R = 2,4,5,6-t; R1,R2 = (un)substituted (hetero)aryl; 2 = alk(en)ylene; 21 = NR3 or CR4R5; R3 = (un)substituted (hetero)aryl; R4 = H or (un)substituted (hetero)aryl; R5 = alkyl, alkoxy, alkanoyl, carbamoyl, etc.; 22 = O or S; 23 = alkylene, cycloalkylene, etc.; 24 = CH2, CO, SO2; 25 = bond or alk(yn)ylene] were prepd. Thus, 3,4-difluorophenyl was condensed with CH2=CHCH2CH2OSiMe3 and the product stereoselectively cyclized to give, in 2 addnl. steps, (R)-3,4-difluorophenyl (CH2NH2)CH2CH2OSiMe3. The latter was cyclized and the product N-benzoylated to give, in 2 addnl. steps, 3-benzoyl-5-oxazolidinylethyl mesylate II (R2 = C6H4Cl2-3,4) (III: R6 = OSO2Me) which was aminated by 4-phenylpiperidine-4-carboxamide to give III (R6 = 4-carbamoyl-4-phenylpiperidine). Data for biol. activity of I were given.

IT 181640-70-0P 191673-51-5P 191673-52-6P  
 191673-53-7P 191673-54-8P 191673-55-9P  
 191673-56-0P 191673-57-1P 191673-58-2P 191673-59-3P  
 191673-60-4P 191673-61-5P 191673-62-6P 191673-63-7P  
 191673-64-8P  
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 3-benzoyl-5-(piperidinomethyl)oxazolidinone and analogs as tachykinin receptor antagonists)

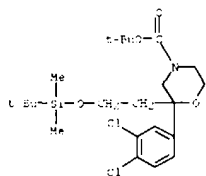
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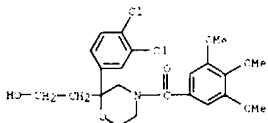
RN 191673-51-5 CAPLUS  
 CN 4-Morpholinocarboxylic acid, 2-(3,4-dichlorophenyl)-2-[2-[[[1,1-dimethylethylidimethylsilyl]oxy]ethyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)



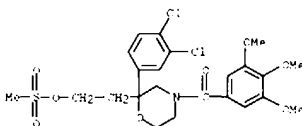
L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 191673-52-6 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)- (9CI) (CA INDEX NAME)



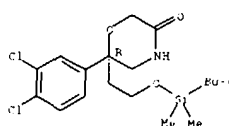
RN 191673-53-7 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



RN 191673-55-9 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-([1,1-dimethylethyl]dimethylsilyl)oxyethyl)-, (6R)- (9CI) (CA INDEX NAME)

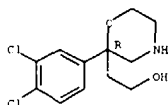
Absolute stereochemistry.

L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



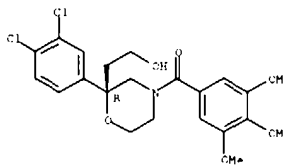
RN 191673-56-0 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)- (2R) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-57-1 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-, (2S)- (9CI) (CA INDEX NAME)

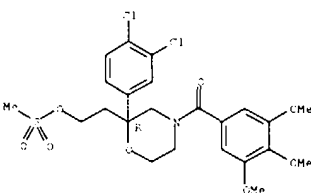
Absolute stereochemistry. Rotation (+).



RN 191673-58-2 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-, methanesulfonate (ester), (2R) (9CI) (CA INDEX NAME)

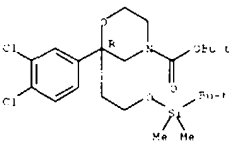
Absolute stereochemistry. Rotation (+).

L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



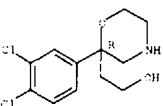
RN 191673-60-6 CAPLUS  
CN 4-Morpholineethoxyacetic acid, 2-((3,4-dichlorophenyl)-2-([1,1-dimethylethyl]dimethylsilyl)oxyethyl)-, 1,1-dimethylethyl ester, (2R)- (4CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 191673-61-7 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-(3,4,5-trimethoxybenzyl)-, hydrochloride, (2R)- (9CI) (CA INDEX NAME)

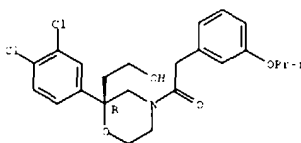
Absolute stereochemistry. Rotation (+).



● RCI

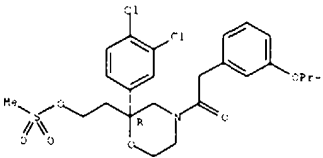
RN 191673-62-9 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-([2-(1-methylethoxy)phenyl]acetyl)-, (R) (9CI) (CA INDEX NAME)

L5 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 191673-64-0 CAPLUS  
CN 2-Morpholineethanol, 2-((3,4-dichlorophenyl)-4-([2-(1-methylethoxy)phenyl]acetyl)-, methanesulfonate (ester), (R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



LS ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1996:596190 CAPLUS  
 DOCUMENT NUMBER: 125:247835  
 TITLE: Preparation of substituted heterocyclic compounds as neurokinin receptor antagonists  
 INVENTOR(S): Emouls Alt, Xavier Grosserlether, Isabelle Goudie, Patrick Pradet, Vincent Van Bruck, Didier  
 PATENT ASSIGNER(S): Sanofi, Fr.  
 SOURCE: PCT Int. Appl., 176 pp.  
 CODEN: PIXA02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

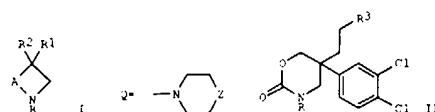
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622787	A1	19960808	WO 1996-FR152	19960130
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RW: KE, LS, MW, SD, SI, US, AT, FE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, EF, EC, CF, CS, CI, CN, SA, GN, ML, MR, NE, SN				

FR 2729552	A1	19960802	FR 1995-1916	19950130
FR 2729552	B1	19970418		
FR 2729553	A1	19960802	FR 1995-8046	19950204
FR 2729553	B1	19970801		
FR 2729554	A1	19960802	FR 1995-1905	19951103
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CA 2211668	AA	19960808	CA 1996-2211668	19960130
AT 9646669	A1	19960801	A3 1995-48669	19960130
AE 727901	B2	19990722		
ZA 9606094	A	19960826	ZA 1996-694	19960130
EP 867111	A1	19971114	EP 1996-90705	19960130
EP 867111	B2	20020814		
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CN 1980764	B	20020828		
IL 116957	A1	19960820	IL 1996-116957	19960130
JP 11507324	T2	19960626	JP 1996-073306	19960130
JP 3234228	B2	20011204		
NZ 362085	A	20000128	NZ 1996-362085	19960130
RU 2157807	C2	20001020	RU 1997-124838	19960130
JP 2001131171	A2	20010515	JP 2000-342806	19960130
JP 200117279	A2	20010626	JP 2000-342571	19960130
EP 1156049	B2	20011121	EP 2001-115949	19960130
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JP 2002136088	A2	20020514	JP 2001-335496	19960130
AT 133381	E	20030815	AT 1996-922405	19960130
ES 2161666	T3	20030331	ES 1996-073306	19960130
EP 1340754	A1	20030303	EP 2003-12771	19960130
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LS ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 FI 9703148 A 19970929 FI 1997-3148 19970729  
 NC 9703479 A 19970929 NC 1997-3479 19970729  
 US 5977359 A 19981102 US 1998-175332 19981020  
 US 6242697 B1 20010905 US 1998-175331 19981020  
 AU 9930133 A1 19990819 AU 1999-30133 19990519  
 AU 731788 B2 20010405  
 CN 1321634 A 20011114 CN 2001-116340 20010411  
 CN 1321635 A 20011114 CN 2001-116341 20010411  
 CN 1394855 A 20030205 CN 2001-143103 20011207

PRIORITY APPL. INFO.:  
 FR 1995-1016 A 19950130  
 FR 1995-8046 A 19950704  
 FR 1995-13005 A 19961103  
 A1 1996-46669 A1 19960130  
 EP 1996-902305 A3 19960130  
 EP 2001-119949 A3 19960130  
 JP 1996-523308 A3 19960130  
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 WO 1996-FR152 W 19960130  
 US 1997-820716 A3 19970318

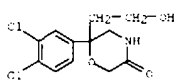
OTHER SOURCE(S): MARPAT 125:247835  
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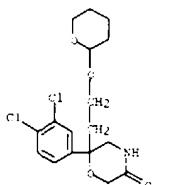
AR Title compds. (I: A = OCO, CH2OCO, NHCO, CH2, etc.; R1 = (un)substituted Ph, naphthyl, benzothienyl, etc.; R2 = (CH2)mR3; R3 = e.g., heterocyclic group C; Z = (hetero)arylamino- or methylmethine, etc.; m = 2 or 3) were prep. Thus, 3,4-dichlorophenyl was alkylated by BrCH2CH2R3 (R3 = 2-tetrahydropyran-2-yl) and the product converted in 2 steps to 3,4-dichlorophenyl (CH2CH2CH2R3 (R3 as above) which was cyclodimerized with COCl2 to give, in 2 addnl. steps, oxazepine II (R = CH2Ph) (III: R3 = 4-benzylpiperidin-1-yl had Ki of <10-8M for tachykinin receptors in vitro).

IT 101640-66-4P 101640-67-5P 101640-68-6P  
 101640-70-0P 101641-07-6P 101641-08-7P  
 101641-24-7P 101641-32-7P 101641-33-8P  
 101641-34-9P 101641-36-1P 101641-40-7P  
 101642-72-8P 101642-74-0P 101642-76-2P  
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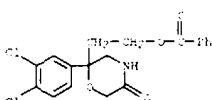
LS ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)  
 101643-32-3P 101643-51-6P 101643-52-7P  
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 101643-67-4P 101643-68-5P  
 RL: RCT (Reaction); SPN (Synthetic preparation); PREP (Preparation); RACT (Reaction of respect)  
 (prepn. of substituted heterocyclic compds. as neurokinin receptor antagonists)  
 RN 101640-66-4 CAPLUS  
 CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 101640-67-5 CAPLUS  
 CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-[2-(1-tetrahydro-3H-pyran-2-yl)oxyethyl]- (9CI) (CA INDEX NAME)

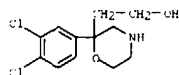


RN 101640-68-6 CAPLUS  
 CN 3-Morpholinone, 6-[2-(benzoyloxyethyl)-6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

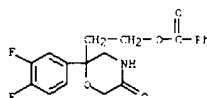


RN 101640-70-0 CAPLUS  
 CN 2-Morpholineethanol, 2-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

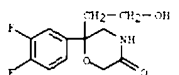
LS ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 101641-07-6 CAPLUS  
 CN 3-Morpholinone, 6-[2-(benzoyloxyethyl)-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)

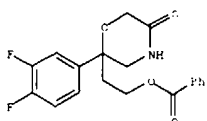


RN 101641-08-7 CAPLUS  
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RN 101641-24-7 CAPLUS  
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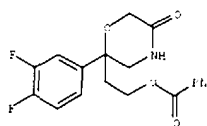
Rotation (-).



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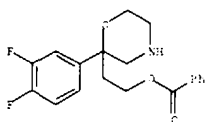
Rotation (+).

L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



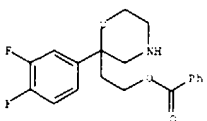
RN 181641-73-8 CAPLUS  
CN 2 Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (1) (SCI) (CA INDEX NAME)

Rotation (-).



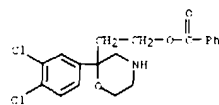
RN 181641-74-9 CAPLUS  
CN 2 Morpholineethanol, 2-(3,4-difluorophenyl)-, benzoate (ester), (+) (SCI) (CA INDEX NAME)

Rotation (+).

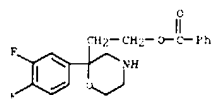


RN 181641-76-1 CAPLUS  
CN 2 Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzoate (ester) (SCI) (CA INDEX NAME)

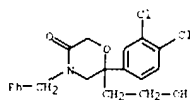
L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



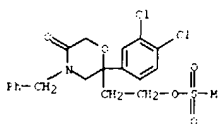
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CN 2 Morpholineethanol, 2-(3,4-dichlorophenyl)-, benzoate (ester) (SCI) (CA INDEX NAME)



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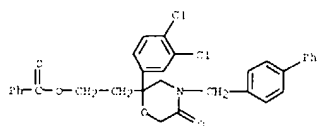


RN 181642-74-0 CAPLUS  
CN 3-Morpholinone, 6-(3,4-dichlorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]-4-(phenylmethyl)- (SCI) (CA INDEX NAME)

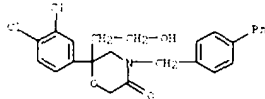


RN 181642-76-2 CAPLUS  
CN 3-Morpholinone, 6-[2-(benzoyloxy)ethyl]-4-[[1,1'-biphenyl]-4-ylmethyl]-6

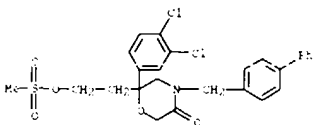
L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



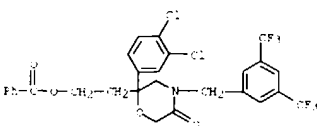
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CN 3-Morpholinone, 4-[[1,1'-biphenyl]-4-ylmethyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (SCI) (CA INDEX NAME)



RN 181642-80-3 CAPLUS  
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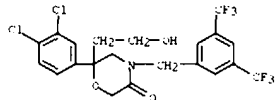


RN 181642-82-0 CAPLUS  
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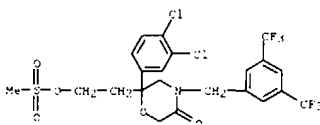


L5 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

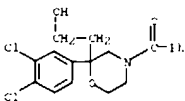
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CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-dichlorophenyl)-6-(2-hydroxyethyl)- (SCI) (CA INDEX NAME)



RN 181642-86-4 CAPLUS  
CN 3-Morpholinone, 4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-dichlorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]- (SCI) (CA INDEX NAME)

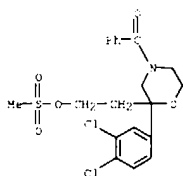


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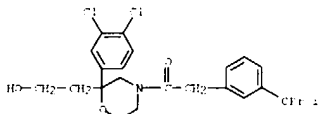


RN 181642-90-0 CAPLUS  
CN 2-Morpholineethanol, 4-benzyl-2-(3,4-dichlorophenyl)-, methanesulfonate (ester) (SCI) (CA INDEX NAME)

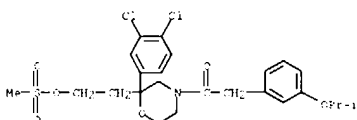
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 181642-92-2 CAPLUS  
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]] (9CI) (CA INDEX NAME)



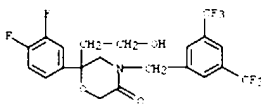
RN 181642-94-4 CAPLUS  
CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[3-(1-methylethoxy)phenyl]acetyl]] , methanesulfonate (ester) (9CI) (CA INDEX NAME)



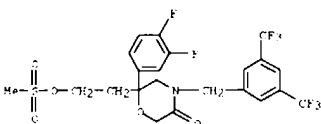
RN 181643-23-2 CAPLUS  
CN 3-Morpholinone, 6-[2-(benzylloxy)ethyl]-6-(3,4-difluorophenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

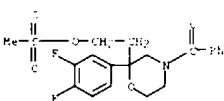
RN 181643-29-8 CAPLUS  
CN 3-Morpholinone, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-(hydroxyethyl)]- (9CI) (CA INDEX NAME)



RN 181643-31-2 CAPLUS  
CN 3-Morpholinone, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)-6-[2-[(methylsulfonyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



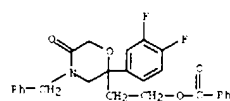
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CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



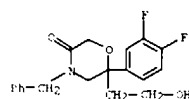
RN 181643-51-6 CAPLUS  
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester), (-) (9CI) (CA INDEX NAME)

Rotation (-).

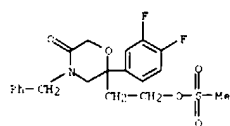
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



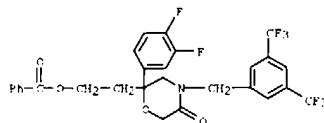
RN 181643-25-4 CAPLUS  
CN 3-Morpholinone, 6-[(3,4-difluorophenyl)-6-[2-(hydroxyethyl)-4-(phenylmethyl)]- (9CI) (CA INDEX NAME)



RN 181643-27-6 CAPLUS  
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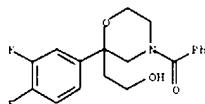


RN 181643-28-7 CAPLUS  
CN 3-Morpholinone, 6-[2-(benzylloxy)ethyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



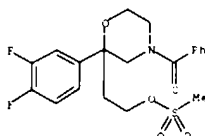
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 181643-52-7 CAPLUS  
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, (-) (9CI) (CA INDEX NAME)  
Rotation (-).



RN 181643-53-8 CAPLUS  
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, methanesulfonate (ester), (-) (9CI) (CA INDEX NAME)

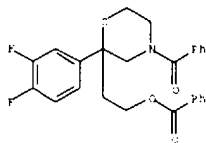
Rotation (-).



RN 181643-54-9 CAPLUS  
CN 2-Morpholineethanol, 4-benzoyl-2-(3,4-difluorophenyl)-, benzoate (ester), (+) (9CI) (CA INDEX NAME)

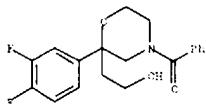
Rotation (+).

15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



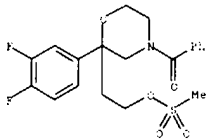
RN 181643-55-0 CAPLUS  
 CN 2-Morpholineethanol, 4-benzyl-2-[(3,4-difluorophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



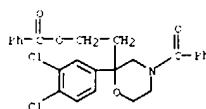
RN 181643-56-1 CAPLUS  
 CN 2-Morpholineethanol, 4-benzyl-2-[(3,4-difluorophenyl)-, methanesulfonate (ester), (+) (9CI) (CA INDEX NAME)

Rotation (+).

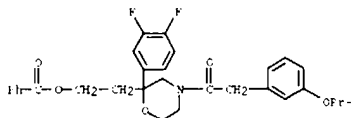


RN 181643-57-2 CAPLUS  
 CN 2-Morpholineethanol, 4-benzyl-2-[(3,4-dichlorophenyl)-, benzoylate (ester), (+) (9CI) (CA INDEX NAME)

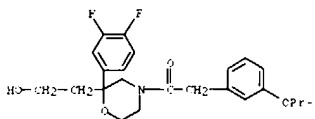
15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



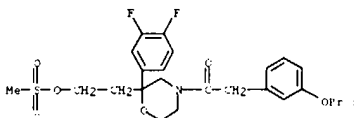
RN 181643-63-0 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-dichlorophenyl)-4-[[[3-(1-methylethoxy)phenyl]acetyl]-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181643-64-1 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-difluorophenyl)-4-[[[3-(1-methylethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)

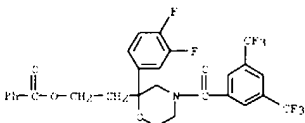


RN 181643-65-2 CAPLUS  
 CN 2-Morpholineethanol, 2-[(3,4-difluorophenyl)-4-[[[3-(1-methylethoxy)phenyl]acetyl]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

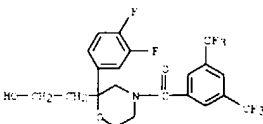


15 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

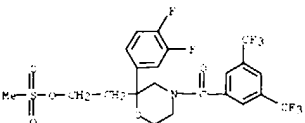
RN 181643-66-3 CAPLUS  
 CN 2-Morpholineethanol, 4-[[[3,5-bis(trifluoromethyl)benzyl]-2-[(3,4-difluorophenyl)-, benzoate (ester) (9CI) (CA INDEX NAME)



RN 181643-67-4 CAPLUS  
 CN 2-Morpholineethanol, 4-[[[3,5-bis(trifluoromethyl)benzyl]-2-[(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 181643-68-5 CAPLUS  
 CN 2-Morpholineethanol, 4-[[[3,5-bis(trifluoromethyl)benzyl]-2-[(3,4-difluorophenyl)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



Page 30 10/23/2003

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	101.04	251.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.32	-14.32

FILE 'REGISTRY' ENTERED AT 09:19:35 ON 23 OCT 2003  
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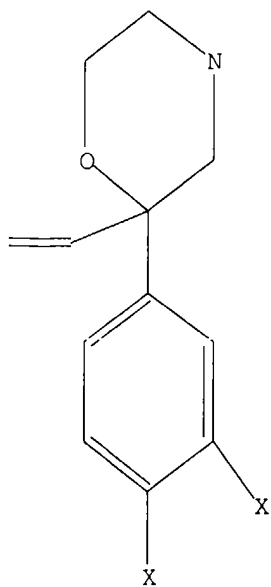
STRUCTURE FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0  
DICTIONARY FILE UPDATES: 22 OCT 2003 HIGHEST RN 608090-08-0

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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Page 31 10/23/2003



Structure attributes must be viewed using STN Express query preparation.

Page 32 10/23/2003

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FILE COVERS 1907 - 23 Oct 2003 VOL 139 ISS 17  
FILE LAST UPDATED: 22 Oct 2003 (20031022/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

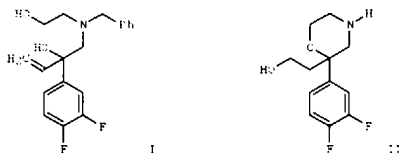
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L8 1 L7

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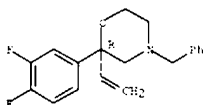


13 ANSWER 1 OF 1 CAPLUS: COPYRIGHT 2024 ACS on STN  
ACCESSION NUMBER: 2001:50634 CAPLUS  
DOCUMENT NUMBER: 144:100879  
TITLE: Preparation of 2-(2-aryl-2-methylprop-1-en-1-yl)ethanols as  
diol intermediates  
INVENTOR(S): Allmard, Alain Bernon, Françoise Simefoy,  
Sébastien Burgin, Amélie Carac, Claude Lema, Eric  
Sautel Synthesabo, Fr.  
PATENT ASSIGNMENT(S): PCT Int. Appl., 76 pp.  
SOURCE: CODEN: PIXXDD  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
PATENT ASS. NUM. COUNT: 1  
PATENT INFORMATION:

[illegible]

AB Enantiomer:  $\text{EtOCH}_2\text{CH}_2\text{OH}$  (E + 3,4-dihalo-phenyl; Z = 2-morpholinylidene) were prepd. Thus, 3,4-EtOCH<sub>2</sub>CH<sub>2</sub>OH (prepn. given) was condensed with  $\text{C}_6\text{H}_4\text{Cl}_2\text{CMeBr}$  and the product amidated by  $\text{PhCH}_2\text{NH}_2$  to give, after

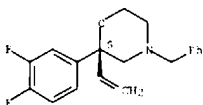
LE ANSWER 1 OF 1 CAPLUS COPYRIGHT 2016 ACS in STM (Continued)  
Absolute stereochemistry. Rotations (+).



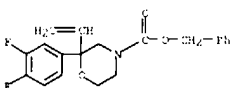
● HCl

RN 320337-89 2 CAPLUS  
CN Morpholine, 2-(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)-, (2S)-  
(501) (CA INDEX NAME)

**Absolute stereochemistry.** Rotation (1).



4-Morpholinethyl oxalic acid, 2-(3,4-difluorophenyl)-2-ethenyl-,  
phenylmethyl ester (9CI) (CA INDEX NAME)



17 390412-72-3P  
 RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PACT  
 (Reactant or reagent)  
 (prepn. of 2-(2-aryl-2-morpholino)ethanols as drug intermediates)

RN 390412-72-3 CASLUS  
 CN Morpholine, 2-(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)-, (2R)-  
 (9CI) (CA INDEX NAME)

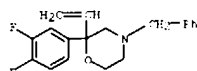
Absolute stereochemistry. Relative 101.

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS in STN (Continued)  
(\*)-PhCH(CH<sub>2</sub>CO<sub>2</sub>H, treatment, (R)-(+)-PhCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub> (CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>)C<sub>6</sub>H<sub>5</sub>2,3,4  
(\*)-mandelic acid salt which was treated with oxirane to give amirbuteinol  
(R) (+) 1. The latter was cyclized and the product converted in 2 steps  
to tatile compound. (R)-(+)-11.

IT 320337-64-2P 320337-65-3P 320337-72-2P  
320337-80-2P 320337-85-7P

RL: IMF (Industrial) Manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(group. of 2 (2-aryl-2-morpholino)ethanols as drug intermediates)

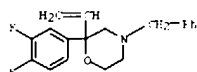
EN 320337-64-2 CAPTUS  
 CN M.rpholine, 2-(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)- (SCI) (CA  
 INDEX NAME)



RN 320337-65-3 CAPLUS  
CN Morpholine, 2 (3,4 difluorophenyl) 2-ethenyl-4-(phenylmethyl),  
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

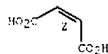
CRN 320337-64-2  
CMF C19 H19 F2 N O



CM 2

CRN 110-16-7  
CMF C4 H4 04

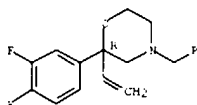
Double bond geometry as shown.



RN 320337-72-2 CAPLUS  
CN Morpholine, 2-(3,4-difluorophenyl)-2-ethenyl-4-(phenylmethyl)-,  
hydrochloride, (2R)-(9CI) (CA INDEX NAME)

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L8 ANSWER 1 OF 1 CAPLES COPYRIGHT 2003 ACS on STN (Continued)



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Page 34 10/23/2003

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
5.37	404.92

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.65	-14.97

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